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NEWS	6	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS	7	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features
NEWS	8	FEB 16	INSPEC Adding Its Own IPC codes and Author's E-mail Addresses
NEWS	9	APR 02	CAS Registry Number Crossover Limits Increased to 500,000 in Key STN Databases
NEWS	10	APR 02	PATDPAFULL: Application and priority number formats enhanced
NEWS	11	APR 02	DWPI: New display format ALLSTR available
NEWS	12	APR 02	New Thesaurus Added to Derwent Databases for Smooth Sailing through U.S. Patent Codes
NEWS	13	APR 02	EMBASE Adds Unique Records from MEDLINE, Expanding Coverage back to 1948
NEWS	14	APR 07	CA/CAplus CLASS Display Streamlined with Removal of Pre-IPC 8 Data Fields
NEWS	15	APR 07	50,000 World Traditional Medicine (WTM) Patents Now Available in CAplus
NEWS	16	APR 07	MEDLINE Coverage Is Extended Back to 1947

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 22:36:20 ON 18 MAY 2010

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 22:36:40 ON 18 MAY 2010
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAY 2010 HIGHEST RN 1224322-63-7
DICTIONARY FILE UPDATES: 17 MAY 2010 HIGHEST RN 1224322-63-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

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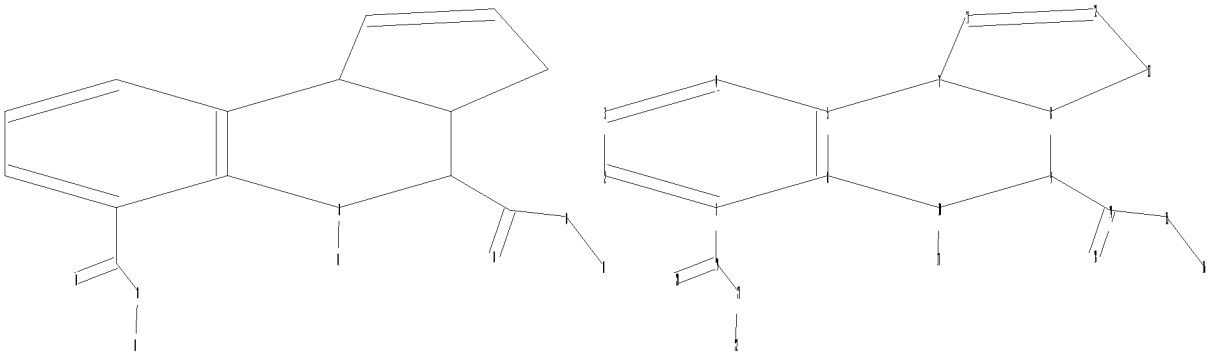
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10568252.str



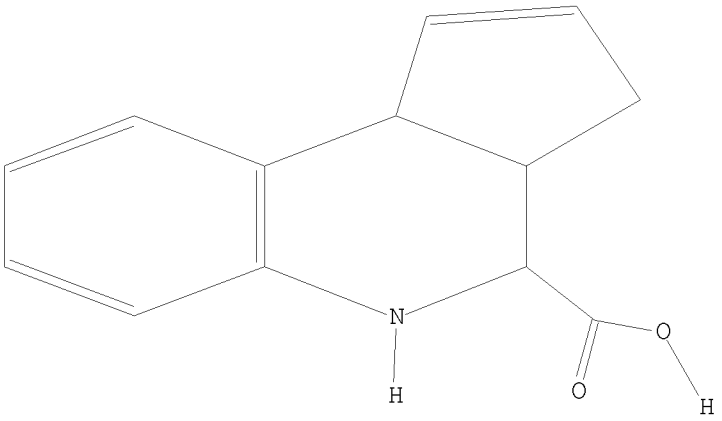
chain nodes :
14 15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
1-19 9-14 10-17 14-15 14-18 15-16 19-20 19-21 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13
exact/norm bonds :
5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13

exact bonds :
1-19 9-14 10-17 15-16 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-18 19-20 19-21

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS

L1   STRUCTURE UPLOADED

=> d str
L1 HAS NO ANSWERS
'STR ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
ENTER STRUCTURE FORMAT (SIM), NOS:
ENTER STRUCTURE FORMAT (SIM), NOS:
L1   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 22:37:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   26513 TO ITERATE

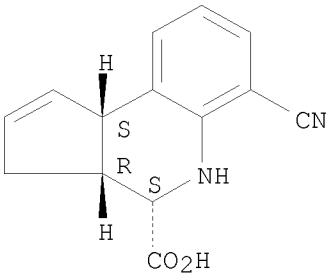
100.0% PROCESSED   26513 ITERATIONS   178 ANSWERS
SEARCH TIME: 00.00.01

L2   178 SEA SSS FUL L1

=> d scan

L2   178 ANSWERS   REGISTRY COPYRIGHT 2010 ACS on STN
IN   3H-Cyclopenta[c]quinoline-4-carboxylic acid,
     6-cyano-3a,4,5,9b-tetrahydro-, (3aR,4S,9bS)-
MF   C14 H12 N2 O2

Absolute stereochemistry.

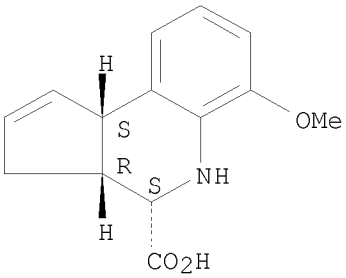


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 178 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C14 H15 N O3

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	193.50	193.72

FILE 'CAPLUS' ENTERED AT 22:39:25 ON 18 MAY 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 May 2010 VOL 152 ISS 21
FILE LAST UPDATED: 17 May 2010 (20100517/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2010.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l2
L3 43 L2

=> d l3 1-43 ibib abs hitstr

L3 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:506684 CAPLUS
DOCUMENT NUMBER: 152:446768
TITLE: Compounds that inhibit human DNA ligases and methods of treating cancer
INVENTOR(S): Tomkinson, Alan E.; Chen, Xi; Dziegielewska, Barbara; Mackerell, Alexander D.; Zhong, Shijun; Wilson, Gerald M.
PATENT ASSIGNEE(S): Tomkinson, Alan, USA; Dziegielewska, Barbara
SOURCE: U.S. Pat. Appl. Publ., 139pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20100099683	A1	20100422	US 2009-576410	20091009
WO 2008124838	A1	20081016	WO 2008-US59931	20080410
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

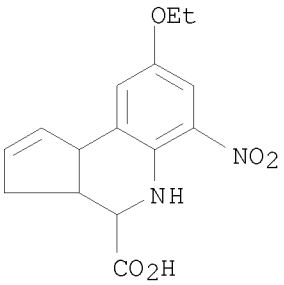
PRIORITY APPLN. INFO.: US 2007-911000P P 20070410
WO 2008-US59931 A2 20080410
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Methods for treating cancer using compds. that inhibit human DNA ligases. Methods for using compds. that inhibit human DNA ligases to provide insights into the reaction mechanisms of human DNA ligases, for example to identify the human DNA ligase involved in different DNA repair pathways. Screening methods for compds. that inhibit human DNA ligases.

IT 354816-31-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. that inhibit human DNA ligases and methods of treating cancer)

RN 354816-31-2 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-ethoxy-3a,4,5,9b-tetrahydro-6-nitro- (CA INDEX NAME)



L3 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:159922 CAPLUS

DOCUMENT NUMBER: 152:326153

TITLE: New Substructure Filters for Removal of Pan Assay Interference Compounds (PAINS) from Screening Libraries and for Their Exclusion in Bioassays

AUTHOR(S): Baell, Jonathan B.; Holloway, Georgina A.

CORPORATE SOURCE: The Wlter and Eliza Hall Institute of Medical Research, IG Royal Parade, Parkville, Victoria, 3052, Australia

SOURCE: Journal of Medicinal Chemistry (2010), 53(7), 2719-2740
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

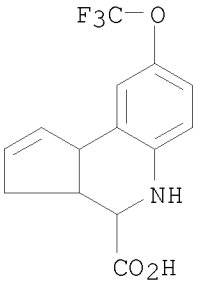
LANGUAGE: English

AB This report describes a number of substructural features which can help to identify compds. that appear as frequent hitters (promiscuous compds.) in many biochem. high throughput screens. The compds. identified by such substructural features are not recognized by filters commonly used to identify reactive compds. Even though these substructural features were identified using only one assay detection technol., such compds. have been reported to be active from many different assays. In fact, these compds. are increasingly prevalent in the literature as potential starting points for further exploration, whereas they may not be.

IT 342405-93-0
RL: PAC (Pharmacological activity); BIOL (Biological study)
(new substructure filters for removal of pan assay interference compds. (PAINS) from screening libraries and for their exclusion in bioassays)

RN 342405-93-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 215 THERE ARE 215 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:20794 CAPLUS
DOCUMENT NUMBER: 152:136788
TITLE: Heparan sulfate inhibitors
INVENTOR(S): Crawford, Brett E.; Glass, Charles A.; Brown, Jillian R.; Witt, Robert G.; Vollrath, Benedikt; Lichter, Jay
PATENT ASSIGNEE(S): Zacharon Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 167pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2010003023	A2	20100107	WO 2009-US49450		20090701
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
US 20100048638	A1	20100225	US 2009-496548		20090701
PRIORITY APPLN. INFO.:			US 2008-77448P	P	20080701
			US 2009-159976P	P	20090313
			US 2009-164286P	P	20090327

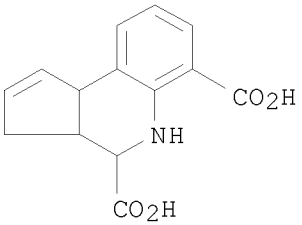
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 152:136788
AB Provided herein are heparan sulfate inhibitors, including modulators of heparan sulfate glycosylation, heparan sulfate sulfation, and/or heparan sulfate epimerization. Provided in certain embodiments, herein is a process for modifying the structure of a glycosaminoglycan (e.g., heparan sulfate) on a core protein, comprising contacting a cell that translationally produces at least one core protein having at least one attached glycosaminoglycan (e.g., heparan sulfate) moiety with a selective inhibitor of glycosaminoglycan (e.g., heparan sulfate) biosynthesis, including a heparan sulfate glycosyltransferase, a heparan sulfate sulfotransferase, a heparan sulfate phosphotransferase, or a heparan

sulfate epimerase. Provided in some embodiments herein is a process of inhibiting heparan sulfate function in a cell comprising contacting the cell with a selective modulator of heparan sulfate biosynthesis. In certain embodiments, the cell is present in a human diagnosed with cancer. Provided in certain embodiments herein is a method of treating a lysosomal storage disease.

IT 312713-97-6
RL: PAC (Pharmacological activity); PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heparan sulfate inhibitors in relation to attachment to proteins for treatment of cancer and lysosomal storage disease)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



L3 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:875997 CAPLUS

DOCUMENT NUMBER: 151:115085

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

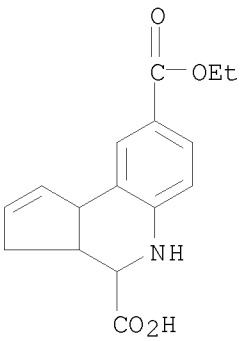
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090163545	A1	20090625	US 2008-341615		20081222
US 20090163545	A1	20090625	US 2008-341615		20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P	20080125
			US 2007-16362P	P	20071221
			US 2008-341615		20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

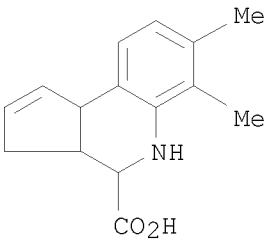
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 353484-61-4 935279-96-2
RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 353484-61-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)



RN 935279-96-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)



L3 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:875996 CAPLUS
DOCUMENT NUMBER: 151:115084
TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds
INVENTOR(S): Goldfarb, David Scott
PATENT ASSIGNEE(S): University of Rochester, USA
SOURCE: U.S. Pat. Appl. Publ., 57pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 20
PATENT INFORMATION:

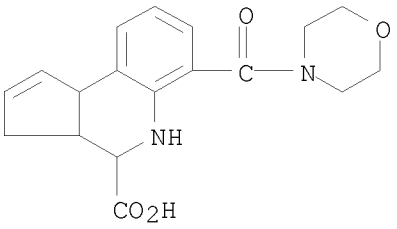
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090163545	A1	20090625	US 2008-341615		20081222
US 20090163545	A1	20090625	US 2008-341615		20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P	20080125
			US 2007-16362P	P	20071221
			US 2008-341615		20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic

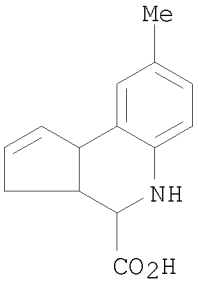
organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 354815-85-3 354815-91-1 474090-84-1
496854-79-6
RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

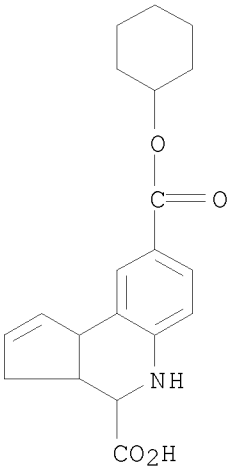
RN 354815-85-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-(4-morpholinylcarbonyl)- (CA INDEX NAME)



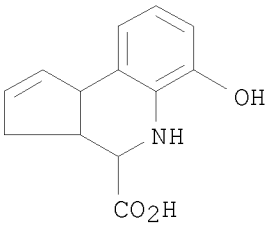
RN 354815-91-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)



RN 474090-84-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-cyclohexyl ester (CA INDEX NAME)



RN 496854-79-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)



L3 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:875995 CAPLUS
DOCUMENT NUMBER: 151:115083
TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds
INVENTOR(S): Goldfarb, David Scott
PATENT ASSIGNEE(S): University of Rochester, USA
SOURCE: U.S. Pat. Appl. Publ., 57pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 20
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090163545	A1	20090625	US 2008-341615		20081222
US 20090163545	A1	20090625	US 2008-341615		20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P	20080125
			US 2007-16362P	P	20071221
			US 2008-341615		20081222

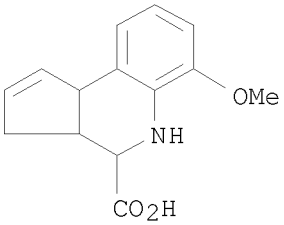
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic

organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 247225-88-3
RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 247225-88-3 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-methoxy- (CA INDEX NAME)



L3 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:860807 CAPLUS

DOCUMENT NUMBER: 151:350055

TITLE: Cdc25B Dual-Specificity Phosphatase Inhibitors Identified in a High-Throughput Screen of the NIH Compound Library

AUTHOR(S): Johnston, Paul A.; Foster, Caleb A.; Tierno, Marni Brisson; Shun, Tong Ying; Shinde, Sunita N.; Paquette, William D.; Brummond, Kay M.; Wipf, Peter; Lazo, John S.

CORPORATE SOURCE: Pittsburgh Molecular Library Screening Center, University of Pittsburgh Drug Discovery Institute, University of Pittsburgh, USA

SOURCE: Assay and Drug Development Technologies (2009), 7(3), 250-265
CODEN: ADDTAR; ISSN: 1540-658X

PUBLISHER: Mary Ann Liebert, Inc.

DOCUMENT TYPE: Journal

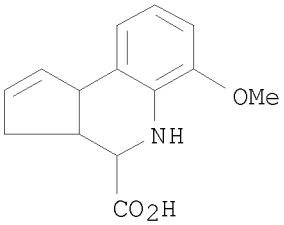
LANGUAGE: English

AB The University of Pittsburgh Mol. Library Screening Center (Pittsburgh, PA) conducted a screen with the National Institutes of Health compound library for inhibitors of in vitro cell division cycle 25 protein (Cdc25) B activity during the pilot phase of the Mol. Library Screening Center Network. Seventy-nine (0.12%) of the 65,239 compds. screened at 10 μM met the active criterion of ≥50% inhibition of Cdc25B activity, and 25 (31.6%) of these were confirmed as Cdc25B inhibitors with 50% inhibitory concentration (IC50) values <50 μM. Thirteen of the Cdc25B inhibitors were represented by singleton chemical structures, and 12 were divided among four clusters of related structures. Thirteen (52%) of the Cdc25B inhibitor hits were quinone-based structures. The Cdc25B inhibitors were further characterized in a series of in vitro secondary assays to confirm their activity, to determine their phosphatase selectivity against two other dual-specificity phosphatases, mitogen-activated protein kinase phosphatase (MKP)-1 and MKP-3, and to examine if the mechanism of Cdc25B inhibition involved oxidation and inactivation. Nine Cdc25B inhibitors did not appear to affect Cdc25B through a mechanism involving oxidation because they did not generate detectable amts. of H2O2 in the

presence of dithiothreitol, and their Cdc25B IC50 values were not significantly affected by exchanging the dithiothreitol for β-mercaptoethanol or reduced glutathione or by adding catalase to the assay. Six of the nonoxidative hits were selective for Cdc25B inhibition vs. MKP-1 and MKP-3, but only the two bisfuran-containing hits, PubChem substance identifiers 4258795 and 4260465, significantly inhibited the growth of human MBA-MD-435 breast and PC-3 prostate cancer cell lines. To confirm the structure and biol. activity of 4260465, the compound was resynthesized along with two analogs. Neither of the substitutions to the two analogs was tolerated, and only the resynthesized hit 26683752 inhibited Cdc25B activity in vitro (IC50 = 13.83 ± 1.0 μM) and significantly inhibited the growth of the MBA-MD-435 breast and PC-3 prostate cancer cell lines (IC50 = 20.16 ± 2.0 μM and 24.87 ± 2.25 μM, resp.). The two bis-furan-containing hits identified in the screen represent novel nonoxidative Cdc25B inhibitor chemotypes that block tumor cell proliferation. The availability of non-redox active Cdc25B inhibitors should provide valuable tools to explore the inhibition of the Cdc25 phosphatases as potential mono- or combination therapies for cancer.

IT 247225-88-3, SID 850390 354815-91-1, SID 843791
474090-84-1, SID 4249621 496854-79-6, SID 851514
935279-96-2, SID 884096
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Cdc25B dual-specificity phosphatase inhibitors identified in a high-throughput screen of NIH compound library)

RN 247225-88-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-methoxy- (CA INDEX NAME)



RN 354815-91-1 CAPLUS
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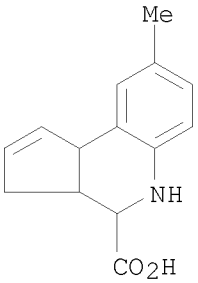
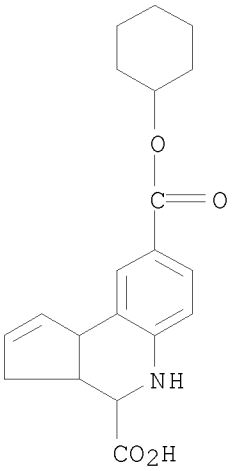


RN 474090-84-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-cyclohexyl ester (CA INDEX NAME)



RN 496854-79-6 CAPLUS
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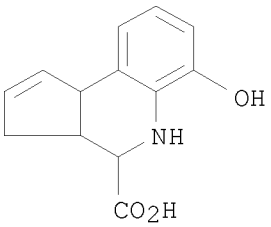
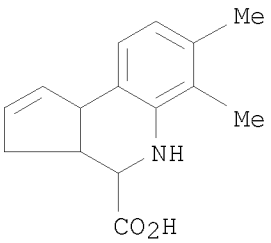
3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)



RN 935279-96-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:710038 CAPLUS
DOCUMENT NUMBER: 151:33434
TITLE: Preparation of substituted tetrahydroquinoline derivatives for use as antibacterial agents
INVENTOR(S): Frechette, Roger
PATENT ASSIGNEE(S): Maxthera, Inc., USA

SOURCE: PCT Int. Appl., 41pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009073550	A2	20090611	WO 2008-US84963	20081126
WO 2009073550	A3	20090730		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20090203726	A1	20090813	US 2008-324496	20081126

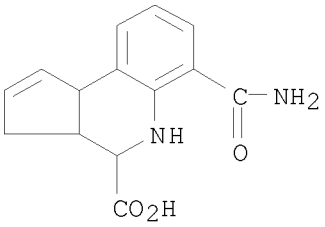
PRIORITY APPLN. INFO.: US 2007-991535P P 20071130
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 151:33434
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

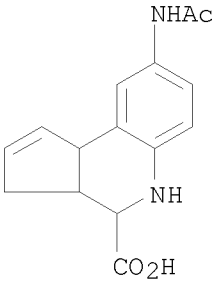
AB Title compds. I [ring A = (un)substituted cycloalkyl or cycloalkenyl group; R1, R2, R3, and R4 independently = H, halo, NO2, CN, (un)substituted aryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as antibacterial agents. Thus, e.g., II was prepared by amidation of aniline with 4-Et ester 3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinoline-4,6-dicarboxylic acid. Select I were evaluated in EPT E coli assays, e.g., II demonstrated an IC50 value of >200 μM.

IT 316187-19-6P 347362-65-6P 353484-21-6P
354816-24-3P 497141-19-2P 848085-70-1P
1159942-84-3P 1159942-92-3P 1159942-94-5P
1159943-00-6P 1159943-02-8P 1159943-05-1P
1159943-08-4P 1159943-12-0P 1159943-14-2P
1159943-16-4P 1159943-20-0P 1159943-22-2P
1159943-24-4P 1159943-26-6P 1159943-28-8P
1159943-30-2P 1159943-32-4P 1159943-34-6P
1159943-36-8P 1159943-38-0P 1159943-40-4P
1159943-42-6P 1159943-44-8P 1159943-46-0P
1159943-48-2P 1159943-50-6P 1159943-52-8P
1159943-54-0P 1159943-56-2P 1159943-58-4P
1159943-60-8P 1159943-63-1P 1159943-66-4P
1159943-69-7P 1159943-71-1P 1159943-73-3P
1159943-75-5P 1159943-77-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted tetrahydroquinoline derivs. for use as antibacterial agents)

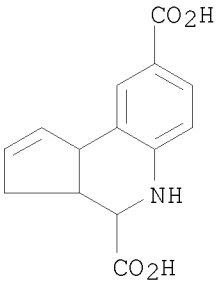
RN 316187-19-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
6-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



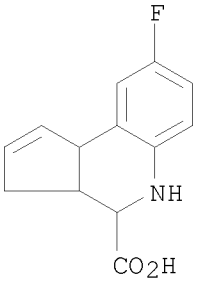
RN 347362-65-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
8-(acetylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



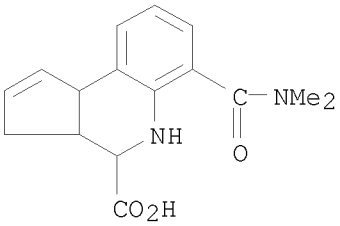
RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-
(CA INDEX NAME)



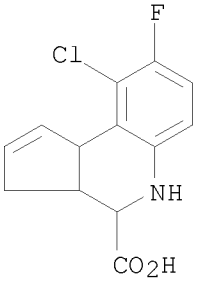
RN 354816-24-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



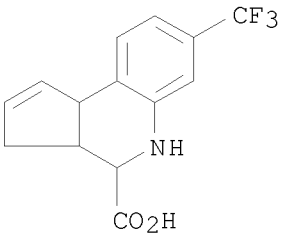
RN 497141-19-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
6-[(dimethylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 848085-70-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
9-chloro-8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 1159942-84-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-7-(trifluoromethyl)- (CA INDEX NAME)



RN 1159942-92-3 CAPLUS
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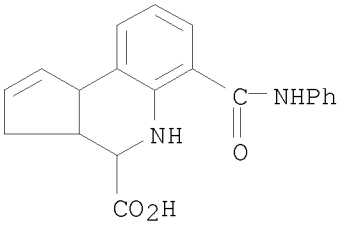
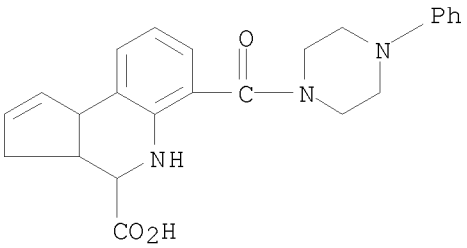
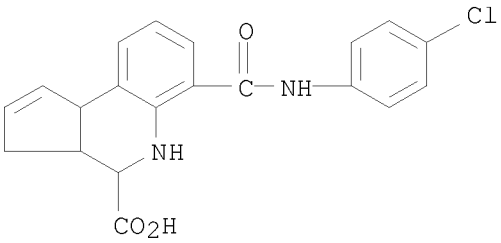
3a,4,5,9b-tetrahydro-6-[(phenylamino)carbonyl]- (CA INDEX NAME)



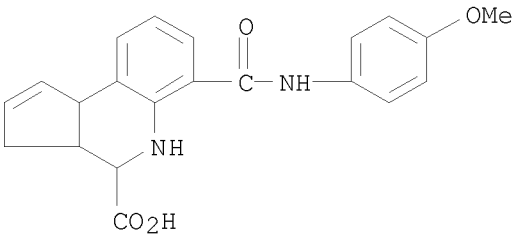
RN 1159942-94-5 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-[(4-phenyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)



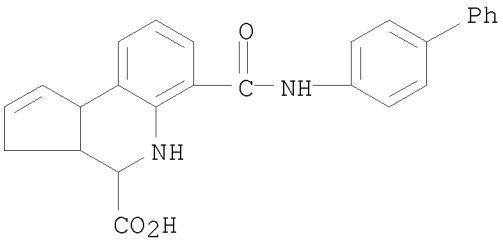
RN 1159943-00-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
6-[[(4-chlorophenyl)amino]carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



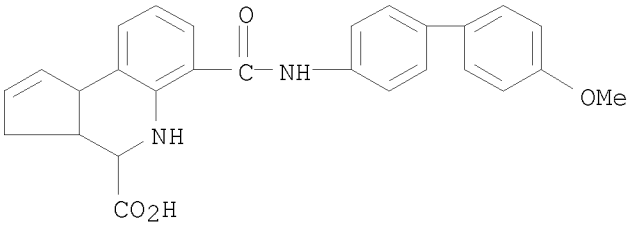
RN 1159943-02-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-[[(4-methoxyphenyl)amino]carbonyl]- (CA INDEX NAME)



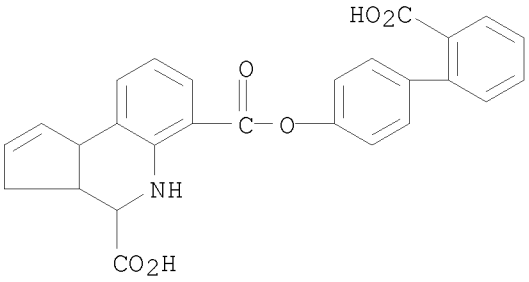
RN 1159943-05-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[([1,1'-biphenyl]-4-ylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



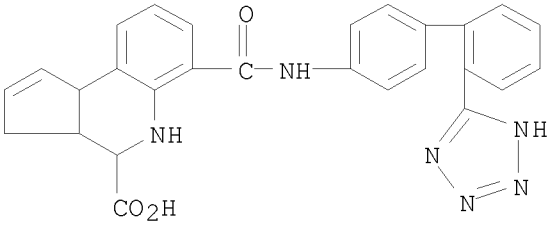
RN 1159943-08-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-[[(4'-methoxy[1,1'-biphenyl]-4-yl)amino]carbonyl]- (CA INDEX NAME)



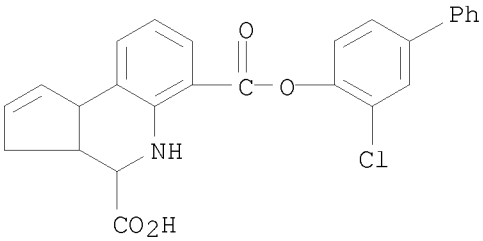
RN 1159943-12-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(2'-carboxy[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



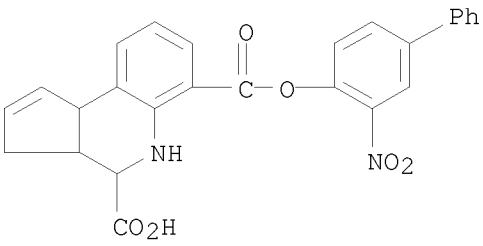
RN 1159943-14-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-[[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]amino]carbonyl]- (CA INDEX NAME)



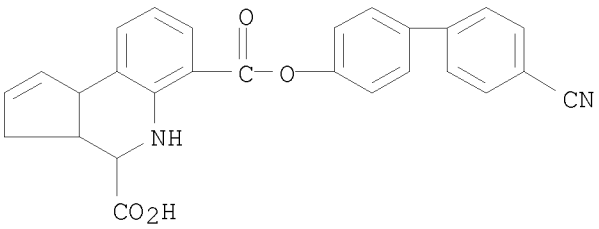
RN 1159943-16-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(3-chloro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



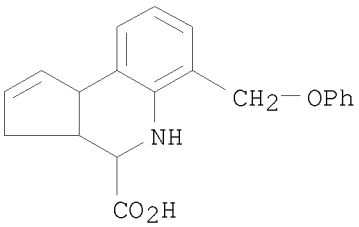
RN 1159943-20-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(3-nitro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



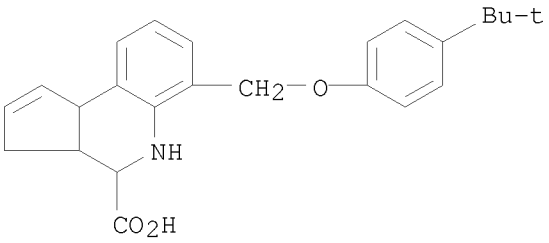
RN 1159943-22-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4'-cyano[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



RN 1159943-24-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-(phenoxymethyl)- (CA INDEX NAME)



RN 1159943-26-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[[4-(1,1-dimethylethyl)phenoxy]methyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 1159943-28-8 CAPLUS
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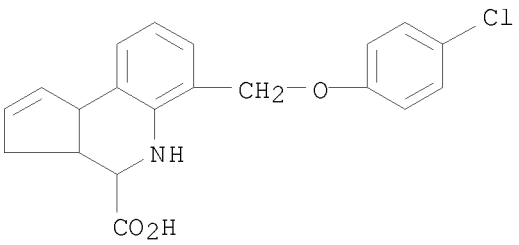

RN 1159943-30-2 CAPLUS
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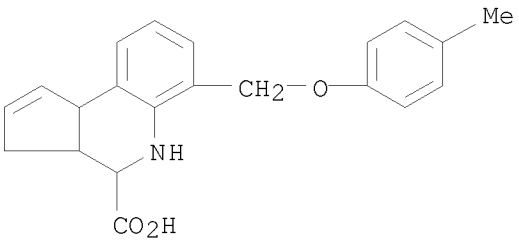
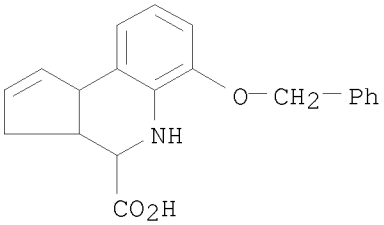
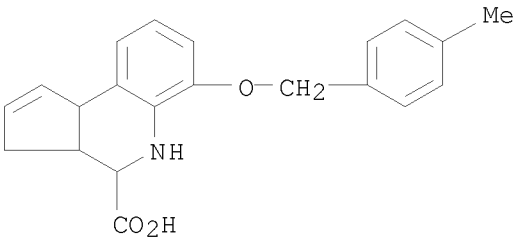


RN 1159943-32-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,

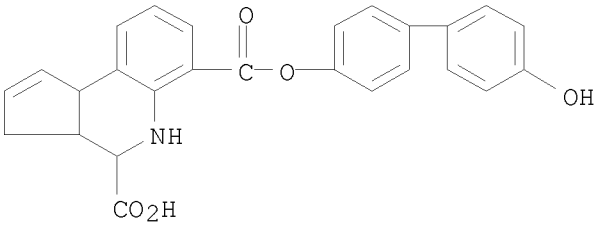
3a,4,5,9b-tetrahydro-6-(phenylmethoxy)- (CA INDEX NAME)



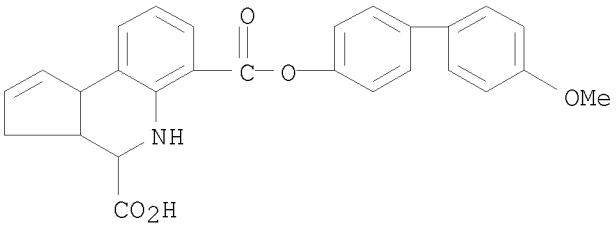
RN 1159943-34-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-[(4-methylphenyl)methoxy]- (CA INDEX NAME)



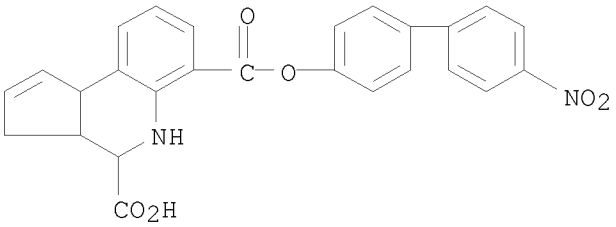
RN 1159943-36-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
6-(4'-hydroxy[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



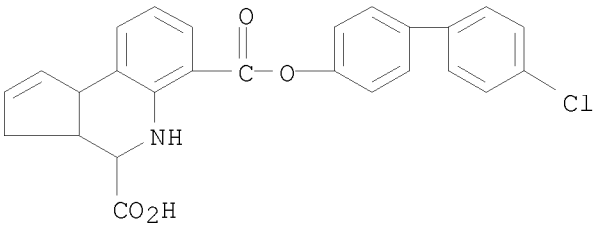
RN 1159943-38-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
6-(4'-methoxy[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



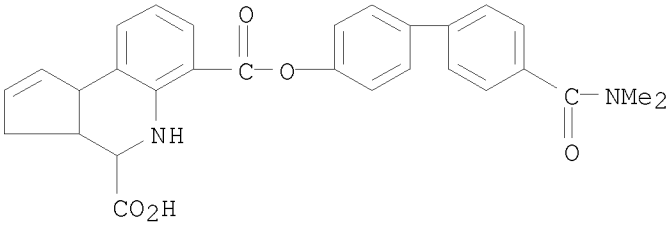
RN 1159943-40-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
6-(4'-nitro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



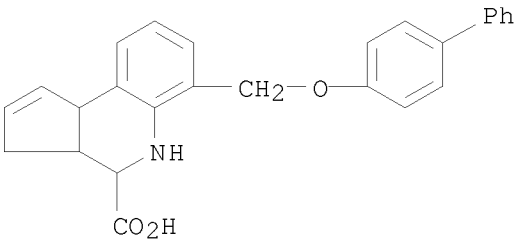
RN 1159943-42-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4'-chloro[1,1'-biphenyl]-4-yl) ester (CA INDEX NAME)



RN 1159943-44-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-[4'-[(dimethylamino)carbonyl][1,1'-biphenyl]-4-yl] ester (CA INDEX NAME)

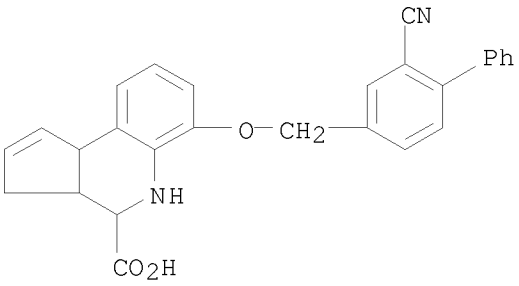


RN 1159943-46-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[([1,1'-biphenyl]-4-yloxy)methyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

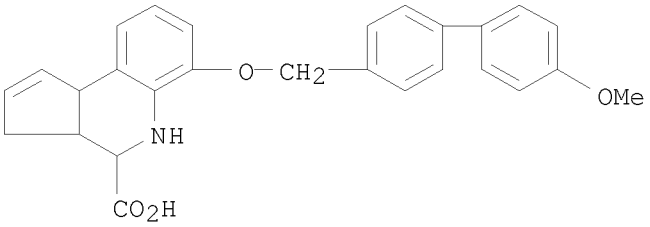


RN 1159943-48-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[(2-cyano[1,1'-biphenyl]-4-yl)methoxy]-3a,4,5,9b-tetrahydro- (CA INDEX

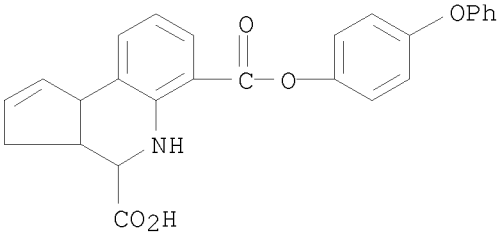
NAME)



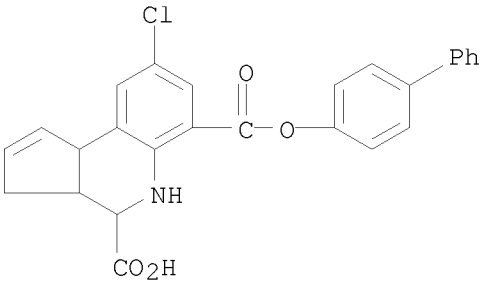
RN 1159943-50-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-[(4'-methoxy[1,1'-biphenyl]-4-yl)methoxy]- (CA INDEX NAME)



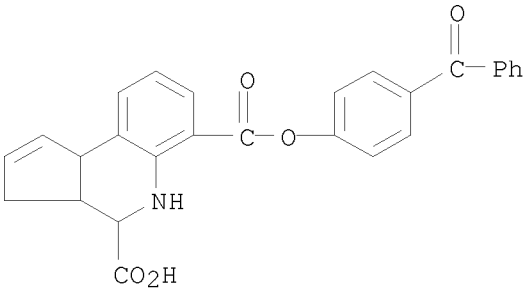
RN 1159943-52-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-phenoxyphenyl) ester (CA INDEX NAME)



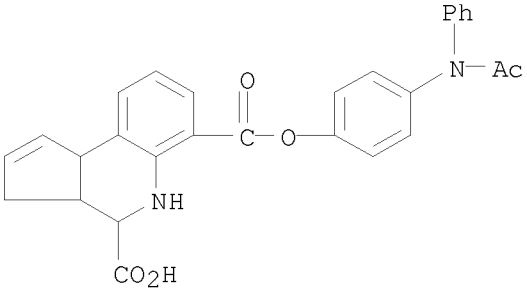
RN 1159943-54-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 8-chloro-3a,4,5,9b-tetrahydro-, 6-[1,1'-biphenyl]-4-yl ester (CA INDEX NAME)



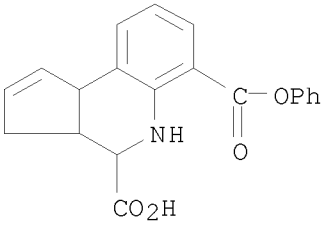
RN 1159943-56-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-benzoylphenyl) ester (CA INDEX NAME)



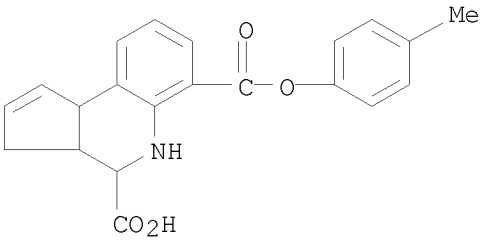
RN 1159943-58-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-[4-(acetylphenylamino)phenyl] ester (CA INDEX NAME)



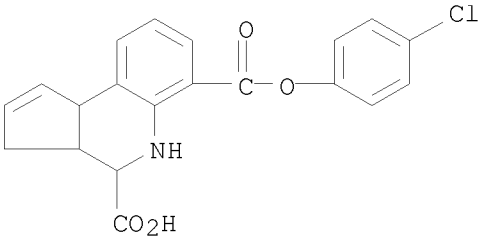
RN 1159943-60-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-phenyl ester (CA INDEX NAME)



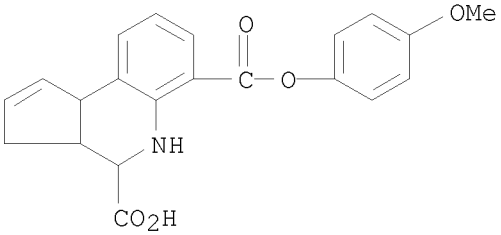
RN 1159943-63-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-methylphenyl) ester (CA INDEX NAME)



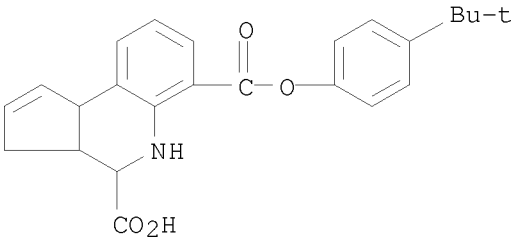
RN 1159943-66-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-chlorophenyl) ester (CA INDEX NAME)



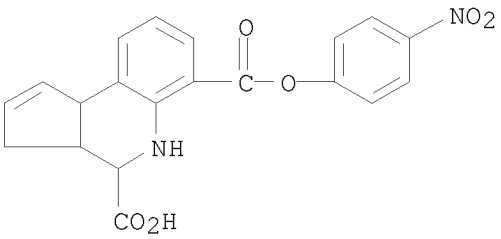
RN 1159943-69-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-methoxyphenyl) ester (CA INDEX NAME)



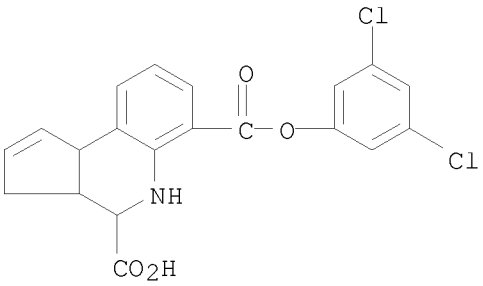
RN 1159943-71-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-[4-(1,1-dimethylethyl)phenyl] ester (CA INDEX NAME)



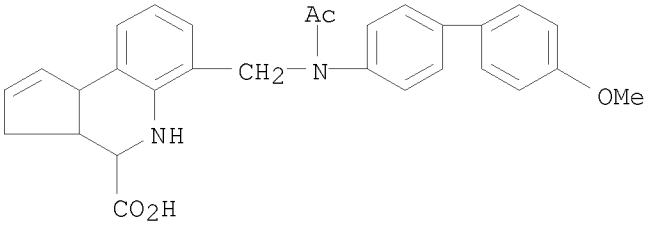
RN 1159943-73-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(4-nitrophenyl) ester (CA INDEX NAME)



RN 1159943-75-5 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 6-(3,5-dichlorophenyl) ester (CA INDEX NAME)



RN 1159943-77-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-[[acetyl(4'-methoxy[1,1'-biphenyl]-4-yl)amino]methyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



L3 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:648998 CAPLUS
DOCUMENT NUMBER: 151:1375
TITLE: Inhibitors of MALT1 proteolytic activity and uses thereof
INVENTOR(S): Beyaert, Rudi; Marynen, Peter; Baens, Thijs; Heyninck, Karen
PATENT ASSIGNEE(S): VIB VZW, Belg.; Universiteit Gent; Katholieke Universiteit Leuven, K.U. Leuven R & D
SOURCE: PCT Int. Appl., 55pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009065897	A2	20090528	WO 2008-EP65925	20081120

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

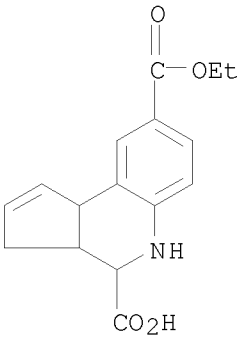
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2007-121200 A 20071121
US 2007-4097P P 20071121

AB The present invention relates to inhibitors of MALT1 proteolytic and/or autoproteolytic activity. More specifically, it relates to compds. such as, but not limited to peptide derivates such as Z-LSSR-CHO, Z-LSSR-CMK, Z-GASR-CHO, and Z-GASR-CMK,and small compds. such as 5-{[5-(3-chloro-4-methylphenyl)-2-furyl]methylene}-2-thioxodihydro-4,6(1H,5H)-pyrimidinedione and variants thereof, and the use of those compds. for the preparation of a medicament. The invention relates further to a method to screen for inhibitors of the MALT1 proteolytic and/or autoproteolytic activity.

IT 353484-61-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of MALT1 proteolytic activity such as peptide derivates and small compds. and therapeutic uses thereof)

RN 353484-61-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)



L3 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:523938 CAPLUS
DOCUMENT NUMBER: 150:500577
TITLE: Cosmetic or dermatological composition comprising a polymer bearing junction groups, and cosmetic treatment method

INVENTOR(S): Chodorowski-Kimmes, Sandrine; Giustiniani, Pascal
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: PCT Int. Appl., 74pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

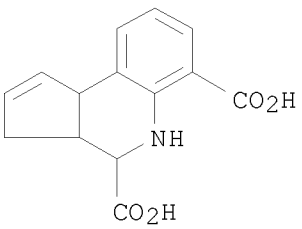
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009053594	A2	20090430	WO 2008-FR51795	20081003
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2921831	A1	20090410	FR 2007-58099	20071005

PRIORITY APPLN. INFO.:		
FR 2007-58099	A	20071005
US 2007-984738P	P	20071102

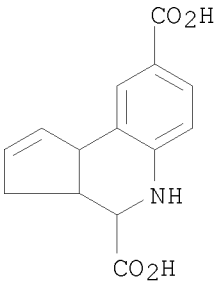
AB The present application relates to a cosmetic or dermatol. composition comprising, in a cosmetically or dermatol. acceptable medium, a polymer comprising: (a) a polymeric backbone capable of being obtained by reacting: - a polyol comprising 3 to 6 hydroxyl groups; - a monocarboxylic acid containing 6 to 32 carbon atoms; - a polycarboxylic acid comprising at least two COOH carboxylic groups, and/or a cyclic anhydride of such a polycarboxylic acid and/or a lactone comprising at least one COOH carboxylic group; and (b) at least one junction group bonded to said polymeric backbone and capable of establishing H bonds with one or more partner junction groups, wherein each pairing of a junction group involves at least 3 H (hydrogen) bonds. The application also relates to a cosmetic treatment method using said composition Pentaerythrityl benzoate-isophthalate-isostearate was prepared and used in a lipstick at a concentration of 30%.

IT 312713-97-6D, condensation polymers 353484-21-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic or dermatol. composition including polymer with linking groups and cosmetic treatment method)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



L3 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:523807 CAPLUS
DOCUMENT NUMBER: 150:480205
TITLE: Composition containing a polycondensate, polycondensate and cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

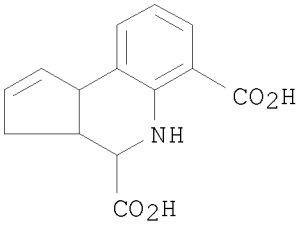
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009053587	A2	20090430	WO 2008-FR51788	20081002
WO 2009053587	A3	20090625		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
FR 2921829	A1	20090410	FR 2007-58058	20071004
PRIORITY APPLN. INFO.:			FR 2007-58058 A	20071004
			US 2007-984736P P	20071102

AB The invention relates to a cosmetic or pharmaceutical composition, in particular a make-up composition, containing a polycondensate that can be obtained by reacting: polyol having 3 to 6 hydroxyl groups; saturated or unsatd., non-aromatic monocarboxylic acid; aromatic monocarboxylic acid having 7 to 11 carbon atoms; and polycarboxylic acid selected from among polycarboxylic acids containing at least one heteroatom selected from O, N and/or S, sugar-derived polycarboxylic acids, itaconic anhydride, 1,4-monoanhydride of 1,4,5,8-naphthalenetetracarboxylic acid and polycarboxylic amino acids, and/or the anhydrides thereof, and/or a lactone containing at least one COOH group. The invention also relates to a cosmetic treatment method using

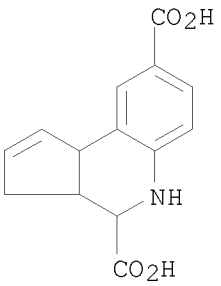
said composition and to the polycondensate defined above.

IT 312713-97-6D, condensation polymers 353484-21-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic compns. comprising condensation polymer and cosmetic treatment method)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



L3 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:520016 CAPLUS
DOCUMENT NUMBER: 150:455845
TITLE: Cosmetic or pharmaceutical composition containing a polycondensate, polycondensate and cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009053584	A2	20090430	WO 2008-FR51782	20081002
WO 2009053584	A3	20091112		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,

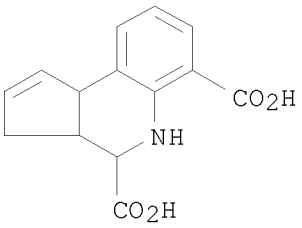
KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

FR 2921828 A1 20090410 FR 2007-58057 20071004
PRIORITY APPLN. INFO.: FR 2007-58057 A 20071004
US 2007-984739P P 20071102

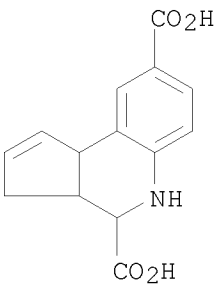
AB The invention relates to a cosmetic or pharmaceutical composition containing a polycondensate that can be obtained by reacting the following single monomers expressed as a percent by weight in relation to the total weight over the polycondensate: 10 - 30 weight-% of one or more poylols having 3 to 6 hydroxyl groups; 30 - 80 weight-% of one or more linear, branched and/or cyclic, saturated or unsatd., non-aromatic monocarboxylic acids having 6 to 32 carbon atoms; 1 - 40 weight-% of one or more polycarboxylic acids and/or cyclic anhydrides of one such polycarboxylic acid and/or lactones having at least one COOH group; and, optionally, 0.1 - 15 weight-% of one or more silicons having a hydroxyl and/or carboxylic function. The invention also relates to a cosmetic treatment method using said composition and to the polycondensate defined above.

IT 312713-97-6DP, condensation polymers 353484-21-6DP, condensation polymers
RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cosmetic or pharmaceutical composition including a polyol-carboxylic acid condensation polymer)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



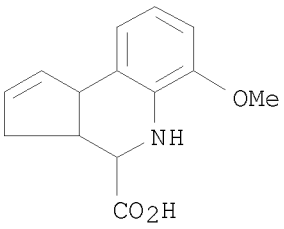
RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



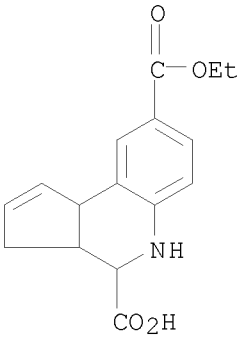
L3 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:492961 CAPLUS
DOCUMENT NUMBER: 150:464207
TITLE: Methods using HePTP inhibitors for treating leukemia and myelodysplastic syndrome, and methods for identifying agents for treating these diseases
INVENTOR(S): Mustelin, Tomas; Tautz, Lutz; Cosford, Nicholas David Peter; Sergienko, Eduard
PATENT ASSIGNEE(S): Burnham Institute for Medical Research, USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090105240	A1	20090423	US 2007-975082	20071017

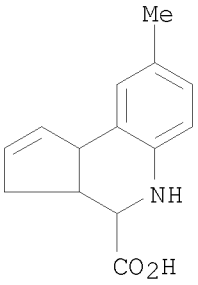
PRIORITY APPLN. INFO.: US 2007-975082 20071017
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:464207
AB The invention discloses methods for treating leukemia and pre-leukemic conditions, as well as myelodysplastic syndrome and acute myelogenous leukemia. The invention further discloses compds. that can be used for treating leukemia and pre-leukemic conditions, as well as myelodysplastic syndrome and acute myelogenous leukemia. The invention also discloses methods for identifying compds. that can be used for treating leukemia and pre-leukemic conditions, as well as myelodysplastic syndrome. Compds. of the invention include HePTP inhibitors.
IT 247225-88-3 353484-61-4 354815-91-1
496854-79-6 935279-96-2 1146248-16-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HePTP inhibitors for treating leukemia, pre-leukemic conditions, and myelodysplastic syndrome, and screening methods)
RN 247225-88-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-methoxy- (CA INDEX NAME)



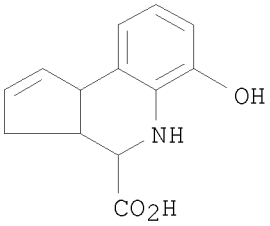
RN 353484-61-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)



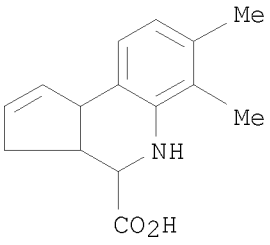
RN 354815-91-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)



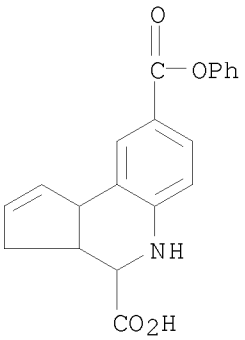
RN 496854-79-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)



RN 935279-96-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)



RN 1146248-16-9 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-phenyl ester (CA INDEX NAME)



L3 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:427447 CAPLUS
DOCUMENT NUMBER: 150:430676
TITLE: Cosmetic or pharmaceutical composition including a condensation polymer, the aforementioned condensation polymer and cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: Fr. Demande, 46pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2921828	A1	20090410	FR 2007-58057	20071004
WO 2009053584	A2	20090430	WO 2008-FR51782	20081002
WO 2009053584	A3	20091112		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

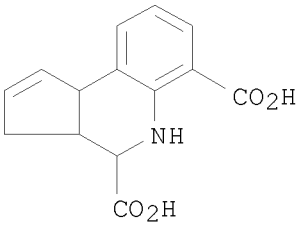
PRIORITY APPLN. INFO.: FR 2007-58057 A 20071004
US 2007-984739P P 20071102

AB The present request relates to a cosmetic or pharmaceutical composition including a condensation polymer likely to be obtained by reaction of the monomeric following: - from 10 to 30% in weight, compared to the total weight of condensation polymer, of one or more polyols including 3 to 6 hydroxyl groups; - from 30 to 80% in weight, compared to the weight total of condensation

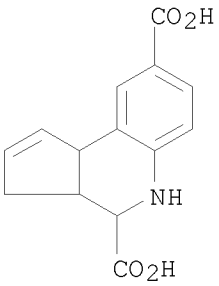
polymer, of one or more nonarom. monocarboxylic acids, saturated or unsatd., linear, ramified and/or cyclic, including 6 to 32 carbon atoms; - from 1 to 40% in weight, compared to the total weight of condensation polymer, of one or more polycarboxylic acids and/or cyclic anhydrides of such including polycarboxylic acids and/or lactones at least one COOH; plus an optional group, from 0.1 to 15% in weight compared to the total of condensation polymer, of one or more silicones with hydroxyl and/or carboxylic function. The request also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.

IT 312713-97-6DP, condensation polymers 353484-21-6DP, condensation polymers
RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cosmetic or pharmaceutical composition including a polyol-carboxylic acid condensation polymer)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:427446 CAPLUS
DOCUMENT NUMBER: 150:430675
TITLE: Cosmetic compositions comprising a condensation polymer and a cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: Fr. Demande, 49pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2921829	A1	20090410	FR 2007-58058	20071004
WO 2009053587	A2	20090430	WO 2008-FR51788	20081002
WO 2009053587	A3	20090625		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

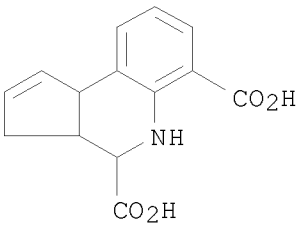
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:			
FR 2007-58058	A	20071004	
US 2007-984736P	P	20071102	

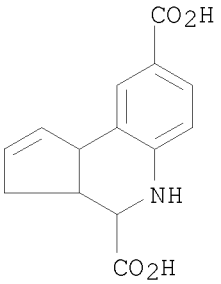
AB The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a nonarom., saturated or unsatd. monocarboxylic acid; of an aromatic monocarboxylic acid (7-11 carbon atoms); and of polycarboxylic acids containing at least a heteroatom chosen from O, N, and/or S, from sugars, and polycarboxylic amino acids and/or their anhydrides, and/or a lactone. The invention also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.

IT 312713-97-6D, condensation polymers 353484-21-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic compns. comprising condensation polymer and cosmetic treatment method)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:427444 CAPLUS
DOCUMENT NUMBER: 150:430673
TITLE: Cosmetic or dermatological composition including a polymer with linking groups, and a cosmetic treatment method
INVENTOR(S): Chodorowski, Kimmes Sandrine; Giustiniani, Pascal
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: Fr. Demande, 62pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2921831	A1	20090410	FR 2007-58099	20071005
WO 2009053594	A2	20090430	WO 2008-FR51795	20081003

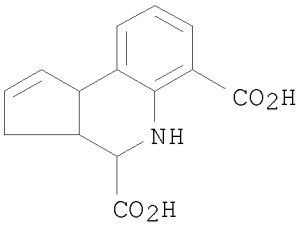
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:		
FR 2007-58099	A	20071005
US 2007-984738P	P	20071102

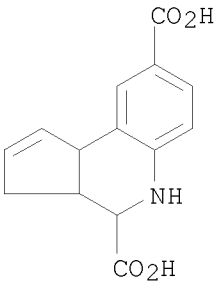
AB The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a monocarboxylic acid (6-32 carbon atoms); and of polycarboxylic acids containing at least 2 CO2H groups and/or their cyclic anhydrides, and/or their lactones, and a group connected to the polymer chain by H bonds. The invention also relates to a cosmetic process of treatment employing the aforementioned composition

IT 312713-97-6D, condensation polymers 353484-21-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic or dermatol. composition including polymer with linking groups and

cosmetic treatment method)
RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:332356 CAPLUS
DOCUMENT NUMBER: 150:345456
TITLE: Compositions and methods relating to HIV protease inhibition
INVENTOR(S): Carlson, Heather A.; Damm, Kelly L.; Meagher, Kristin L.
PATENT ASSIGNEE(S): The Regents of the University of Michigan, USA
SOURCE: PCT Int. Appl., 114pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009036341	A2	20090319	WO 2008-US76258	20080912
WO 2009036341	A3	20090507		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-972505P P 20070914

OTHER SOURCE(S): MARPAT 150:345456

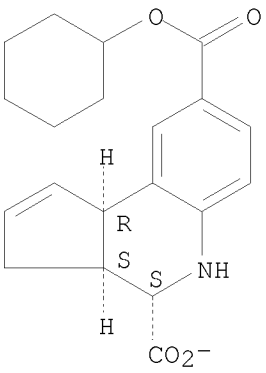
AB The present invention relates to HIV protease, and methods for inhibiting the function of HIV protease. In particular, present invention provides compds. that inhibit or block the biol. activity of HIV protease, thereby causing the replication of the HIV virus to be inhibited or to terminate. These compds., as well as pharmaceutical compns. that contain these compds. and optionally other anti-viral agents as active ingredients, are suitable for treating patients or hosts infected with the HIV virus, which is known to cause AIDS. The compds. and formulations also find use in diagnostic and research settings.

IT 1133136-34-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods relating to HIV protease inhibition for treatment of AIDS and combination with other antiviral agents)

RN 1133136-34-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-cyclohexyl ester, ion(1-), (3aS,4S,9bR)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:138991 CAPLUS

DOCUMENT NUMBER: 150:206401

TITLE: Methods and compositions for modulating RAD51 and homologous recombination

INVENTOR(S): Connell, Philip P.; Bishop, Douglas K.; Weichselbaum, Ralph R.

PATENT ASSIGNEE(S): University of Chicago, USA

SOURCE: PCT Int. Appl., 133pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009018219	A2	20090205	WO 2008-US71364	20080728
WO 2009018219	A3	20090416		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

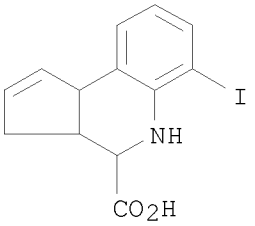
PRIORITY APPLN. INFO.: US 2007-952565P P 20070728
US 2007-972593P P 20070914
US 2008-24497P P 20080129
US 2008-24513P P 20080129

OTHER SOURCE(S): MARPAT 150:206401

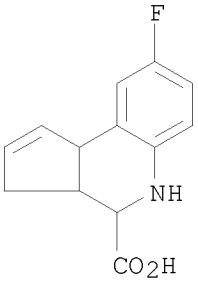
AB The invention discloses methods and compns. involving inhibitors and enhancers of RAD51, a protein involved in homologous recombination. In some embodiments, the invention discloses methods for stimulating homologous recombination, which has a number of significant research and clin. applications. In certain other embodiments, there are methods for protecting cells using a compound that enhances RAD51 activity. Such enhancers may also be employed to prevent or reduce damage to cells that may be caused by DNA-damaging agents. In other embodiments, there are methods for sensitizing cells to the effects of DNA-damaging agents, which can have particular applications for cancer patients. In some embodiments of the invention, the RAD51 enhancer or inhibitor is a small mol. that directly affects RAD51 activity, e.g. its ability to promote filament formation.

IT 353484-37-4 354816-24-3
RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. for modulating RAD51 and homologous recombination)

RN 353484-37-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-iodo- (CA INDEX NAME)



RN 354816-24-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

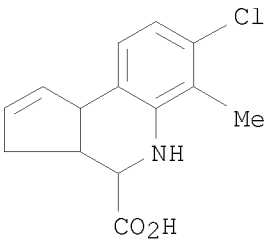


L3 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1507088 CAPLUS
DOCUMENT NUMBER: 150:48004
TITLE: Methods and compounds for regulating apoptosis, and assay for compound identification
INVENTOR(S): Reed, John C.; Yip, Kenneth; Sergienko, Eduard; Su, Ying
PATENT ASSIGNEE(S): The Burnham Institute for Medical Research, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

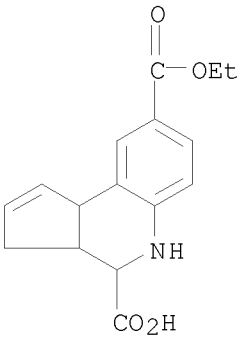
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008154207	A1	20081218	WO 2008-US65567	20080602
WO 2008154207	A9	20100422		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090118135	A1	20090507	US 2008-131427	20080602
PRIORITY APPLN. INFO.:			US 2007-942924P P	20070608
			US 2008-38031P P	20080319

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:48004
AB An assay for determining compds. that inhibit activity of a Bcl-2 protein, or affect conversion of Bcl-2 from an antiapoptotic to a proapoptotic form are described. In addition, compds. that modulate the function of anti-apoptotic proteins such as Bcl-2 and related Bcl-2 family members are identified.
IT 312713-96-5 353484-61-4 354815-89-7
359418-29-4 469892-43-1 470693-57-3
473267-49-1 474090-84-1 935279-96-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compds. for regulating apoptosis, and assay for compound identification)

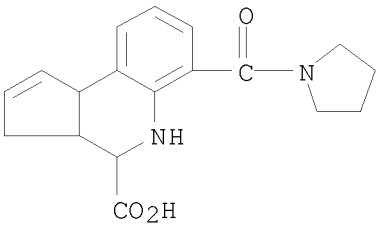
RN 312713-96-5 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
7-chloro-3a,4,5,9b-tetrahydro-6-methyl- (CA INDEX NAME)



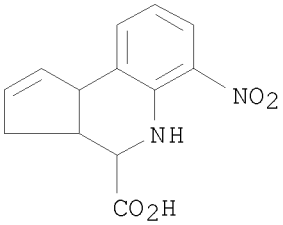
RN 353484-61-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
8-ethyl ester (CA INDEX NAME)



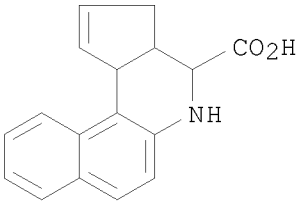
RN 354815-89-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)



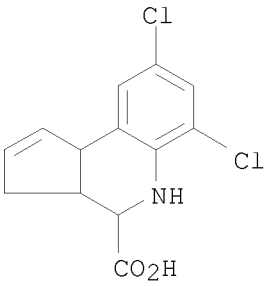
RN 359418-29-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-nitro-
(CA INDEX NAME)



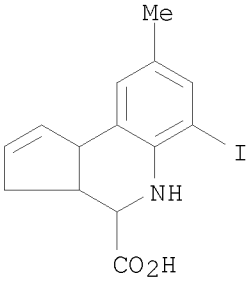
RN 469892-43-1 CAPLUS
CN 3H-Benzo[f]cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,11c-tetrahydro- (CA INDEX NAME)



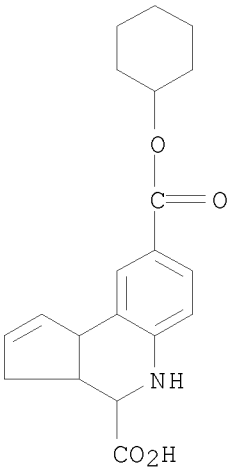
RN 470693-57-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
6,8-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



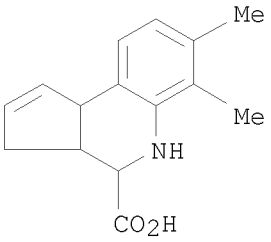
RN 473267-49-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-iodo-8-methyl- (CA INDEX NAME)



RN 474090-84-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-,
8-cyclohexyl ester (CA INDEX NAME)



RN 935279-96-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1244211 CAPLUS
DOCUMENT NUMBER: 149:440343
TITLE: Compounds that inhibit human DNA ligases and methods of treating cancer
INVENTOR(S): Tomkinson, Alan E.; Chen, Xi; Dziegielewska, Barbara; Mackerell, Alexander D.; Zhong, Shijun; Wilson, Gerald M.
PATENT ASSIGNEE(S): University of Maryland, Baltimore, USA
SOURCE: PCT Int. Appl., 196pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

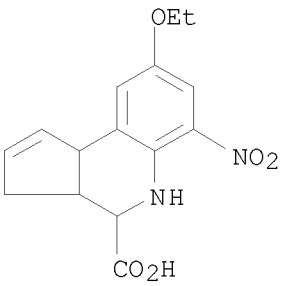
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008124838	A1	20081016	WO 2008-US59931	20080410
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,				

KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 20100099683 A1 20100422 US 2009-576410 20091009
PRIORITY APPLN. INFO.: US 2007-911000P P 20070410
WO 2008-US59931 A2 20080410
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 149:440343

AB Methods for treating cancer using compds. that inhibit human DNA ligases. Methods for using compds. that inhibit human DNA ligases to provide insights into the reaction mechanisms of human DNA ligases, for example to identify the human DNA ligase involved in different DNA repair pathways. Screening methods for compds. that inhibit human DNA ligases.

IT 354816-31-2
RL: PAC (Pharmacological activity); BIOL (Biological study)
(compds. that inhibit human DNA ligases and methods of treating cancer)
RN 354816-31-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-ethoxy-3a,4,5,9b-tetrahydro-6-nitro- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1144642 CAPLUS
DOCUMENT NUMBER: 149:462181
TITLE: Identification of Non-Nucleoside DNA Synthesis Inhibitors of Vaccinia Virus by High-Throughput Screening
AUTHOR(S): Ciustea, Mihai; Silverman, Janice Elaine Y.; Druck Shudofsky, Abigail M.; Ricciardi, Robert P.
CORPORATE SOURCE: Department of Microbiology, School of Dental Medicine, University of Pennsylvania, Philadelphia, PA, 19104, USA
SOURCE: Journal of Medicinal Chemistry (2008), 51(20), 6563-6570
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

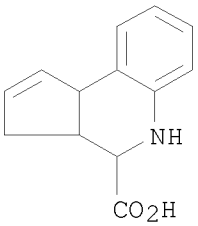
AB Variola virus, the causative agent of smallpox, is a potential bioweapon. The development of new antiviral compds. for smallpox prophylaxis and treatment is critical, especially because the virus can acquire resistance to the

drugs that are currently available. We have identified novel small chemical inhibitors that target DNA synthesis of vaccinia, the prototypical poxvirus. Robotic high-throughput screening of 49663 compds. and follow-up studies identified very potent inhibitors of vaccinia DNA synthesis, with IC50 values as low as 0.5 μM. Cell-based assays showed that 16 inhibitors effectively blocked vaccinia infection with minimal cytotoxicity. Three inhibitors had selectivity indexes that approx. that of cidofovir. These new non-nucleoside inhibitors are expected to interfere with components of the vaccinia DNA synthesis apparatus that are distinct from cidofovir. On the basis of the high sequence similarity between the proteins of vaccinia and variola viruses, these new inhibitors are anticipated to be equally effective against smallpox.

IT 354815-90-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening identification of non-nucleoside DNA synthesis inhibitors of Vaccinia virus)

RN 354815-90-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:191585 CAPLUS
DOCUMENT NUMBER: 148:239024
TITLE: Indole compounds for treating pain, inflammation and other conditions
INVENTOR(S): Talley, John Jeffrey; Sprott, Kevin; Pearson, James Philip; Milne, G. Todd; Schairer, Wayne; Yang, Jing Jing; Kim, Charles; Barden, Timothy; Lundigran, Regina; Mermerian, Ara; Currie, Mark G.
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 877 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008019357	A2	20080214	WO 2007-US75332	20070807
WO 2008019357	A3	20080821		

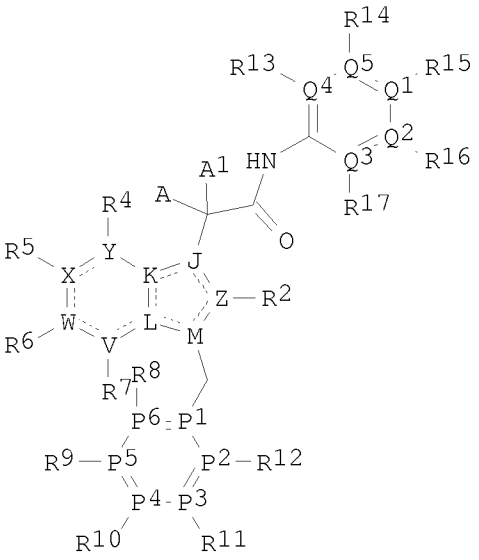
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,

MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2007281747	A1	20080214	AU 2007-281747		20070807
CA 2660704	A1	20080214	CA 2007-2660704		20070807
EP 2049520	A2	20090422	EP 2007-840734		20070807
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
MX 2009001327	A	20090605	MX 2009-1327		20090204
IN 2009KN00702	A	20090515	IN 2009-KN702		20090223
NO 2009001020	A	20090316	NO 2009-1020		20090306
KR 2009054984	A	20090601	KR 2009-704809		20090306
CN 101563337	A	20091021	CN 2007-80037046		20090402
PRIORITY APPLN. INFO.:			US 2006-836108P	P	20060807
			US 2006-875792P	P	20061218
			US 2007-945306P	P	20070620
			WO 2007-US75332	W	20070807

OTHER SOURCE(S): CASREACT 148:239024; MARPAT 148:239024

GI



I

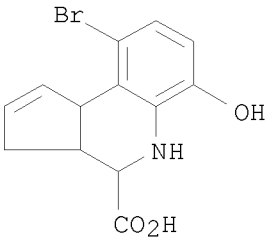
AB The title indoles such as I [V, W, X, Y, Z, J, K, L and M = N or C; P1-P6 = N or C; Q1-Q5 = N or C; A and A1 = OH or (un)substituted alkoxy; or A and A1 taken together = O, N(OH), N(OMe); or A and A1 together with the carbon atom to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally substituted; R2 = halo, OH, NO2, etc.; R4-R17 = absent, H, halo, NO2, etc.; with the provisos] that are useful for treating pain, inflammation and other conditions are described. Certain of the compds. I are benzyl derivs. and others are benzoyl derivs. The compds. I are substituted at least at the 3 position of the indole. General synthetic methods for the preparation of compds. I are described. E.g., a multistep synthesis of {1-[(5-chlorothien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-1H-indole-3-

yl}acetic acid, starting from 3-fluoro-4-methoxyaniline, was given. Pharmaceutical composition comprising the compound I is disclosed.

IT 474376-37-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole compds. useful in treatment of pain, inflammation and other diseases)

RN 474376-37-9 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 9-bromo-3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L3 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:969550 CAPLUS
DOCUMENT NUMBER: 147:315119
TITLE: Novel antagonists of the human fatty acid synthase thioesterase
INVENTOR(S): Smith, Jeffrey W.; Richardson, Robyn D.
PATENT ASSIGNEE(S): Burnham Institute, USA
SOURCE: U.S. Pat. Appl. Publ., 160 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20070203236	A1	20070830	US 2007-622339		20070111
PRIORITY APPLN. INFO.:			US 2006-758103P	P	20060111

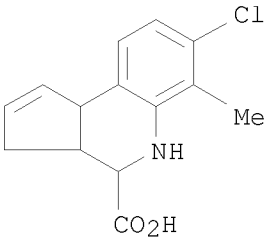
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:315119

AB The invention provides compds. and methods useful to inhibit a thioesterase containing polypeptide. More than 35,000 compds. were screened for antagonists of the fatty acid synthase thioesterase domain or a pathogen-specific thioesterase containing polypeptide using a fluorogenic high throughput assay. Noncompetitive inhibitors that interact with the thioesterase at a site distinct from the substrate-binding site were identified. The thioesterase antagonists of the invention include pyrazolidines, pyrozoles, di-Ph acetamides, pyrrolidiones, thioxopyridmidine diones, quinolones and barbituric acid derivs. In particular, 19 thiobarbituric or barbituric acid derivs., 8 of which have an IC50 of less than 5 μM in vitro, were identified. The most potent of these barbituric acid derivs. blocked the activity of the human fatty acid synthase holoenzyme and were cytotoxic to breast cancer cells. Also provided are antagonists of thioesterase containing polypeptides of pathogens, e.g., Escherichia coli and Yersinia pestis. The invention provides

compds. useful for treatment of cancer or an infection of a mammal by a pathogen and other diseases.

IT 312713-96-5 470693-57-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel antagonists of human fatty acid synthase thioesterase and pathogen-specific thioesterase for treatment of cancer and infection and other diseases)

RN 312713-96-5 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7-chloro-3a,4,5,9b-tetrahydro-6-methyl- (CA INDEX NAME)



RN 470693-57-3 CAPLUS
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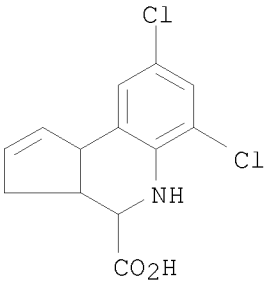


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L3 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:840784 CAPLUS
DOCUMENT NUMBER: 147:377557
TITLE: Structure-based discovery of new small molecule inhibitors of low molecular weight protein tyrosine phosphatase
AUTHOR(S): Vidal, David; Blobel, Jascha; Perez, Yolanda; Thormann, Michael; Pons, Miquel
CORPORATE SOURCE: Laboratory of Biomolecular NMR, Institute for Research in Biomedicine (IRB), Barcelona, 08028, Spain
SOURCE: European Journal of Medicinal Chemistry (2007), 42(8), 1102-1108
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English

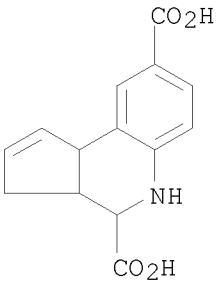
AB The application of a fully integrated and automated virtual screening method for identifying potential and novel inhibitors of bovine lmwPTP is

described. The protocol makes extensive use of the recently introduced LINGO tools, which allow the extraction of the implicit chemical information present in SMILES representations. Nine out of 34 compds. selected from a database of almost 500 000 com. available compds. were exptl. confirmed to be competitive inhibitors of lmwPTP, two of them showing Ki values around 10 μM. The best inhibitors previously described had Ki values higher than 1 mM. These results constitute an exptl. validation of the virtual screening algorithm and provide a basis for the optimization of pharmacol. interesting lmwPTP inhibitors.

IT 353484-21-6
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-based discovery of new small mol. inhibitors of low mol. weight protein tyrosine phosphatase)

RN 353484-21-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

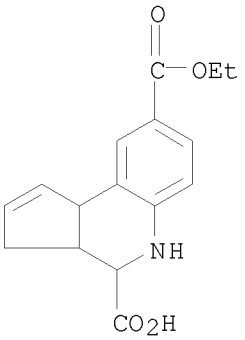
L3 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:792007 CAPLUS
DOCUMENT NUMBER: 147:157334
TITLE: Development and implementation of a 384-well homogeneous fluorescence intensity high-throughput screening assay to identify mitogen-activated protein kinase phosphatase-1 dual-specificity protein phosphatase inhibitors
AUTHOR(S): Johnston, Paul A.; Foster, Caleb A.; Shun, Tong Ying; Skoko, John J.; Shinde, Sunita; Wipf, Peter; Lazo, John S.
CORPORATE SOURCE: Pittsburgh Molecular Libraries Screening Center, Department of Pharmacology, University of Pittsburgh Drug Discovery Institute, University of Pittsburgh School of Medicine, Pittsburgh, PA, USA
SOURCE: Assay and Drug Development Technologies (2007), 5(3), 319-332
CODEN: ADDTAR; ISSN: 1540-658X
PUBLISHER: Mary Ann Liebert, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We report here the miniaturization, development, and implementation of a homogeneous 384-well fluorescence intensity high-throughput screening (HTS) assay for identifying mitogen-activated protein kinase (MAPK) phosphatase-1 (MKP-1) dual-specificity phosphatase inhibitors. As part of the National Institutes of Health (NIH) Mol. Libraries Screening Center

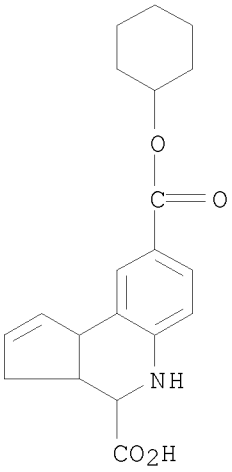
Network (MLSCN), the MKP-1 assay was utilized to screen an NIH diversity library of 65239 compds. for inhibitors of MKP-1 activity at 10 μM and was also used to confirm the concentration dependence of active agents identified in the primary screen. We observed 100 (0.15%) compds. that inhibited MKP-1 in vitro by ≥50% at 10 μM in the primary assay, and 46 of the 100 compds. were confirmed as concentration-dependent inhibitors of MKP-1 with 50% inhibitory concentration (IC50) values of <50 μM; four exhibited IC50 values <1.0 μM, six produced IC50 values in the 1-10 μM range, and 36 produced IC50 values in the 10-50 μM range. A clustering and classification anal. of the compound structures of the 46 confirmed MKP-1 inhibitors produced 29 singleton structures and seven clusters of related structures. Some MKP-1 inhibitors were members of structural classes or contained substructure pharmacophores that previously were reported to inhibit either MKP-1 or other protein tyrosine phosphatases, validating the HTS assay. Importantly, we have identified several attractive and novel MKP-1 inhibitor structures that warrant further investigation as potential probes to study the biol. of MKP-1 and its role in controlling the amplitude and/or duration of MAPK signaling, cell survival, and tumor progression.

IT 353484-61-4 474090-84-1 935279-96-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(development and implementation of a 384-well homogeneous fluorescence intensity high-throughput screening assay to identify mitogen-activated protein kinase phosphatase-1 dual-specificity protein phosphatase inhibitors)

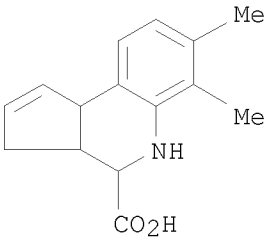
RN 353484-61-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-ethyl ester (CA INDEX NAME)



RN 474090-84-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-cyclohexyl ester (CA INDEX NAME)



RN 935279-96-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)

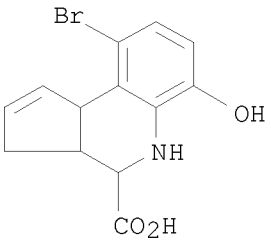


OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:672966 CAPLUS
DOCUMENT NUMBER: 147:87695
TITLE: Useful indole compounds
INVENTOR(S): Bartolini, Wilmin; Cali, Brian M.; Chen, Barbara; Chien, Yueh-Tyng; Currie, Mark G.; Milne, G. Todd; Pearson, James Philip; Talley, John Jeffrey; Yang, Jing Jing; Zimmerman, Craig; Kim, Charles; Sprott, Kevin; Barden, Timothy; Lundigran, Regina; Mermerian, Ara
PATENT ASSIGNEE(S): Microbia, Inc., USA; Ironwood Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 670 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070892	A2	20070621	WO 2006-US62265	20061218

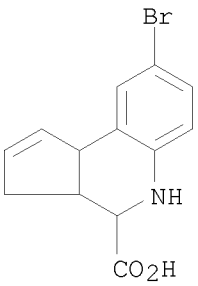
WO 2007070892 A3 20081016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
EP 1998766 A2 20081210 EP 2006-848587 20061218
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS
US 20090264653 A1 20091022 US 2009-97616 20090303
PRIORITY APPLN. INFO.: US 2005-751443P P 20051216
WO 2006-US62265 W 20061218
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:87695
AB Indoles that have activity as inhibitors of FAAH (fatty acid amide hydrolase) are described as are indoles and indole derivs. that have activity as inhibitors of DAO (D-amino acid oxidase).
IT 474376-37-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(useful indole compds. that are inhibitors of fatty acid amide hydrolase and D-amino acid oxidase for treating diseases)
RN 474376-37-9 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 9-bromo-3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L3 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:652015 CAPLUS
DOCUMENT NUMBER: 147:268237
TITLE: In-silico drug screening method based on the protein-compound affinity matrix using the factor selection technique
AUTHOR(S): Murali, Sukumaran; Hojo, Shinichi; Tsujishita, Hideki; Nakamura, Haruki; Fukunishi, Yoshifumi
CORPORATE SOURCE: Japan Biological Information Research Center (JBIRC), Japan Biological Informatics Consortium (JBIC), 2-41-6, Aomi, Koto-ku, Tokyo, 135-0064, Japan
SOURCE: European Journal of Medicinal Chemistry (2007), 42(7), 966-976

CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors have developed a new in-silico drug screening method, a modified version of a docking score index (DSI) method, based on a protein-compound docking affinity matrix. By using this method, the docking scores are converted to the docking score indexes by the principal component anal. (PCA) method and each compound is projected into a PCA space. In this study, the authors propose a method to select a set of suitable principal component axes and evaluate the database enrichment for 12 target proteins. This method selects the new active compds. or hits, which are close to the known active compds., thereby enhancing the database enrichment.
IT 353484-26-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(macrophage migration inhibitory factor modulator; in-silico drug screening method based on protein-compound affinity matrix using factor selection technique)
RN 353484-26-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

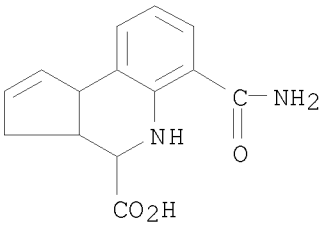
L3 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:528027 CAPLUS
DOCUMENT NUMBER: 147:157459
TITLE: Role of homoserine transacetylase as a new target for antifungal agents
AUTHOR(S): Nazi, Ishac; Scott, Adam; Sham, Anita; Rossi, Laura; Williamson, Peter R.; Kronstad, James W.; Wright, Gerard D.
CORPORATE SOURCE: Antimicrobial Research Centre, Department of Biochemistry and Biomedical Sciences, McMaster University, ON, L8N 3Z5, Can.
SOURCE: Antimicrobial Agents and Chemotherapy (2007), 51(5), 1731-1736
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Microbial amino acid biosynthesis is a proven yet under-exploited target of antibiotics. The biosynthesis of methionine in particular has been shown to be susceptible to small-mol. inhibition in fungi. The first committed step in Met biosynthesis is the acylation of homoserine (Hse) by the enzyme homoserine transacetylase (HTA). We have identified the MET2

gene of Cryptococcus neoformans H99 that encodes HTA (CnHTA) by complementation of an Escherichia coli metA mutant that lacks the gene encoding homoserine transsuccinylase (HTS). We cloned, expressed, and purified CnHTA and determined its steady-state kinetic parameters for the acetylation of L-Hse by acetyl CoA. We next constructed a MET2 mutant in C. neoformans H99 and tested its growth behavior in Met-deficient media, confirming the expected Met auxotrophy. Furthermore, we used this mutant in a mouse inhalation model of infection and determined that MET2 is required for virulence. This makes fungal HTA a viable target for new antibiotic discovery. We screened a 1000-compound library of small mols. for HTA inhibitors and report the identification of the first inhibitor of fungal HTA. This work validates HTA as an attractive drug-susceptible target for new antifungal agent design.

IT 316187-19-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(role of homoserine transacetylase as target for antifungal agents)

RN 316187-19-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:1149518 CAPLUS
DOCUMENT NUMBER: 146:96108
TITLE: An Efficient in Silico Screening Method Based on the Protein-Compound Affinity Matrix and Its Application to the Design of a Focused Library for Cytochrome P450 (CYP) Ligands
AUTHOR(S): Fukunishi, Yoshifumi; Hojo, Shinichi; Nakamura, Haruki
CORPORATE SOURCE: Biological Information Research Center (BIRC), National Institute of Advanced Industrial Science and Technology (AIST), 2-41-6 Aomi, Koto-ku, Tokyo, 135-0064, Japan
SOURCE: Journal of Chemical Information and Modeling (2006), 46(6), 2610-2622
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

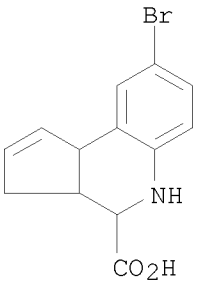
AB A new method has been developed to design a focused library based on available active compds. using protein-compound docking simulations. This method was applied to the design of a focused library for cytochrome P 450 (CYP) ligands, not only to distinguish CYP ligands from other compds. but also to identify the putative ligands for a particular CYP. Principal component anal. (PCA) was applied to the protein-compound affinity matrix, which was obtained by thorough docking calcns. between a large set of

protein pockets and chemical compds. Each compound was depicted as a point in the PCA space. Compds. that were close to the known active compds. were selected as candidate hit compds. A machine-learning technique optimized the docking scores of the protein-compound affinity matrix to maximize the database enrichment of the known active compds., providing an optimized focused library.

IT 353484-26-1
RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study)
(efficient in silico screening method based on protein-compound affinity matrix and its application to design of focused library for cytochrome P 450 ligands)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:971074 CAPLUS
DOCUMENT NUMBER: 146:454203
TITLE: Selective inhibitors of bacterial DNA adenine methyltransferases
AUTHOR(S): Mashhoon, Neda; Pruss, Cynthia; Carroll, Michael; Johnson, Paul H.; Reich, Norbert O.
CORPORATE SOURCE: Pacific Technology Center, EpiGenX Pharmaceuticals, Santa Barbara, CA, USA
SOURCE: Journal of Biomolecular Screening (2006), 11(5), 497-510
CODEN: JBISF3; ISSN: 1087-0571
PUBLISHER: Sage Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors describe the discovery and characterization of several structural classes of small-mol. inhibitors of bacterial DNA adenine methyltransferases. These enzymes are essential for bacterial virulence (DNA adenine methyltransferase [DAM]) and cell viability (cell cycle-regulated methyltransferase [CcrM]). Using a novel high-throughput fluorescence-based assay and recombinant DAM and CcrM, the authors screened a diverse chemical library. They identified 5 major structural classes of inhibitors composed of more than 350 compds.: cyclopentaquinolines, Ph vinyl furans, pyrimidine-diones, thiazolidine-4-ones, and phenyl-pyrroles. DNA binding assays were used to identify compds. that interact directly with DNA. Potent compds. selective for the bacterial target were identified, whereas other compds. showed greater selectivity for the mammalian DNA cytosine

methyltransferase, Dnmt1. Enzyme inhibition anal. identified mechanistically distinct compds. that interfered with DNA or cofactor binding. Selected compds. demonstrated cell-based efficacy. These small-mol. DNA methyltransferase inhibitors provide useful reagents to probe the role of DNA methylation and may form the basis of developing novel antibiotics.

IT 247225-88-3 247225-90-7 312713-97-6
316187-19-6 353484-21-6 353484-26-1
353484-33-0 353484-37-4 353484-43-2
354815-83-1 354815-91-1 354816-31-2
359418-29-4 473267-49-1 474263-68-8
935279-96-2
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selective inhibitors of bacterial DNA adenine methyltransferases)

RN 247225-88-3 CAPLUS
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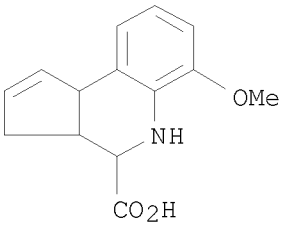

RN 247225-90-7 CAPLUS
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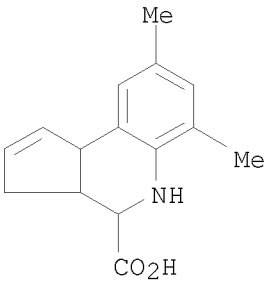
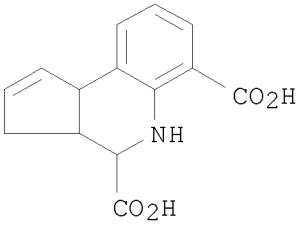
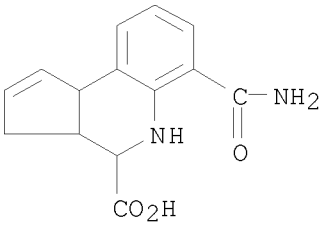


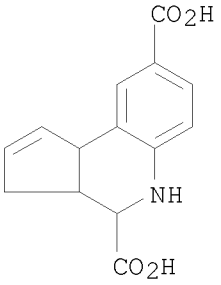
RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



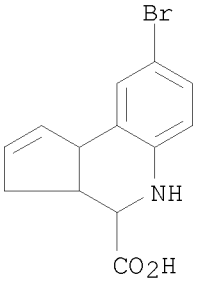
RN 316187-19-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
6-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



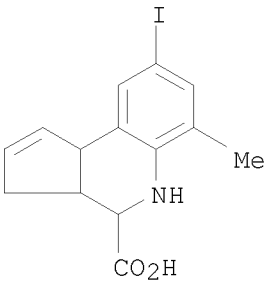
RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-
(CA INDEX NAME)



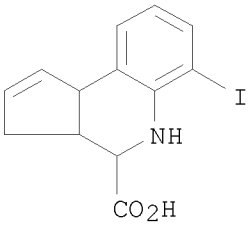
RN 353484-26-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro-
(CA INDEX NAME)



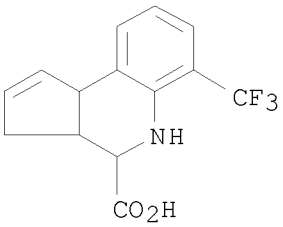
RN 353484-33-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-8-iodo-6-methyl- (CA INDEX NAME)



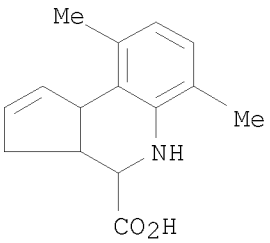
RN 353484-37-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-iodo- (CA INDEX NAME)



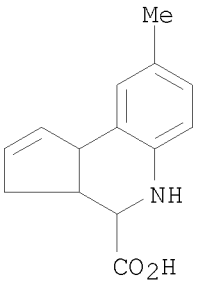
RN 353484-43-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-(trifluoromethyl)- (CA INDEX NAME)



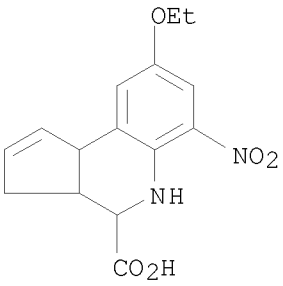
RN 354815-83-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,9-dimethyl- (CA INDEX NAME)



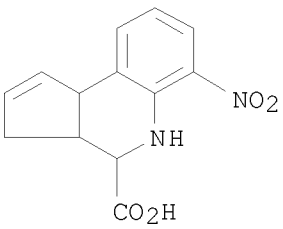
RN 354815-91-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)



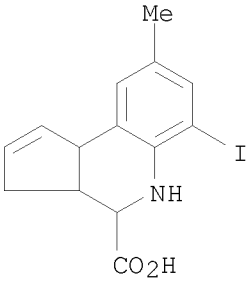
RN 354816-31-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
8-ethoxy-3a,4,5,9b-tetrahydro-6-nitro- (CA INDEX NAME)



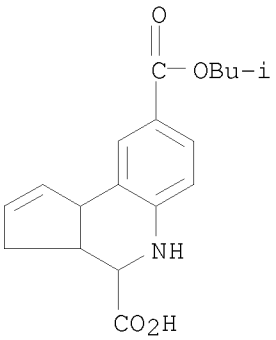
RN 359418-29-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-nitro-
(CA INDEX NAME)



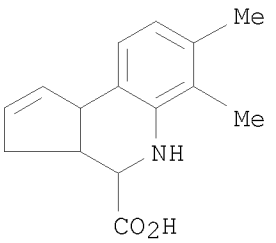
RN 473267-49-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-iodo-8-methyl- (CA INDEX NAME)



RN 474263-68-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-, 8-(2-methylpropyl) ester (CA INDEX NAME)



RN 935279-96-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6,7-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

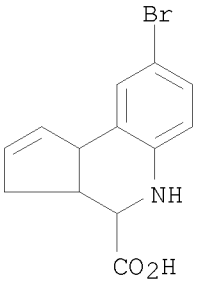
L3 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:903896 CAPLUS
DOCUMENT NUMBER: 146:288339
TITLE: A Virtual Active Compound Produced from the Negative Image of a Ligand-binding Pocket, and its Application to in-silico Drug Screening
AUTHOR(S): Fukunishi, Yoshifumi; Kubota, Satoru; Kanai, Chisato; Nakamura, Haruki
CORPORATE SOURCE: Biological Information Research Center (BIRC), National Institute of Advanced Industrial Science and Technology (AIST), Koto-ku, Tokyo, 135-0064, Japan
SOURCE: Journal of Computer-Aided Molecular Design (2006), 20(4), 237-248
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors developed a new structure-based in-silico screening method using a neg. image of a ligand-binding pocket and a multi-protein-compound interaction matrix. Based on the structure of the ligand pocket of the target protein, the authors designed a neg. image, which consists of

virtual atoms whose radii are close to those of carbon atoms. The virtual atoms fit the pocket ideally and achieve an optimal Coulomb interaction. A protein-compound docking program calcs. the protein-compound interaction matrix for many proteins and many compds. including the neg. image, which can be treated as a virtual compound With specific attention to a vector of docking scores for a single compound with many proteins, the authors selected a compound whose score vector was similar to that of the neg. image as a candidate hit compound This method was applied to representative target proteins and showed high database enrichment with a relatively quick procedure.

IT 353484-26-1
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(virtual active compound produced from the neg. image of a ligand-binding pocket, and its application to in-silico drug screening)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:739283 CAPLUS
DOCUMENT NUMBER: 145:347789
TITLE: Noise Reduction Method for Molecular Interaction Energy: Application to in Silico Drug Screening and in Silico Target Protein Screening
AUTHOR(S): Fukunishi, Yoshifumi; Kubota, Satoru; Nakamura, Haruki
CORPORATE SOURCE: Biological Information Research Center (BIRC) National Institute of Advanced Industrial Science and Technology (AIST) and Japan Biological Information Research Center (JBIRC), Japan Biological Informatics Consortium (JBIC), Tokyo, 135-0064, Japan
SOURCE: Journal of Chemical Information and Modeling (2006), 46(5), 2071-2084
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

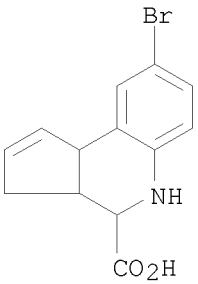
AB The authors developed a new method to improve the accuracy of mol. interaction data using a mol. interaction matrix. This method was applied to enhance the database enrichment of in silico drug screening and in silico target protein screening using a protein-compound affinity matrix calculated by a protein-compound docking software. Our assumption was that the protein-compound binding free energy of a compound could be improved by a linear combination of its docking scores with many different proteins.

The authors proposed two approaches to determine the coeffs. of the linear combination. The first approach is based on similarity among the proteins, and the second is a machine-learning approach based on the known active compds. These methods were applied to in silico screening of the active compds. of several target proteins and in silico target protein screening.

IT 353484-26-1
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(noise reduction method for mol. interaction energy and application to in silico drug screening and in silico target protein screening)

RN 353484-26-1 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

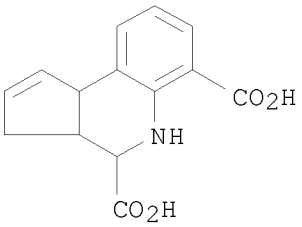
L3 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:334327 CAPLUS
DOCUMENT NUMBER: 145:42075
TITLE: Crystal structures and inhibitor identification for PTPN5, PTPRR and PTPN7: a family of human MAPK-specific protein tyrosine phosphatases
AUTHOR(S): Eswaran, Jeyanthy; von Kries, Jens Peter; Marsden, Brian; Longman, Emma; Debreczeni, Judit E.; Ugochukwu, Emilie; Turnbull, Andrew; Lee, Wen Hwa; Knapp, Stefan; Barr, Alastair J.
CORPORATE SOURCE: Structural Genomics Consortium, Botnar Research Centre, University of Oxford, Oxford, OX3 7LD, UK
SOURCE: Biochemical Journal (2006), 395(3), 483-491
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Protein tyrosine phosphatases PTPN5, PTPRR and PTPN7 comprise a family of phosphatases that specifically inactivate MAPKs (mitogen-activated protein kinases). We have determined high-resolution structures of all of the human family members, screened them against a library of 24000 compds. and identified two classes of inhibitors, cyclopenta[c]quinolinecarboxylic acids and 2,5-dimethylpyrrolyl benzoic acids. Comparative structural anal. revealed significant differences within this conserved family that could be explored for the design of selective inhibitors. PTPN5 crystallized, in two distinct crystal forms, with a sulfate ion in close proximity to the active site and the WPD (Trp-Pro-Asp) loop in a unique conformation, not seen in other PTPs, ending in a 310-helix. In the PTPN7 structure, the WPD loop was in the closed conformation and part of the KIM

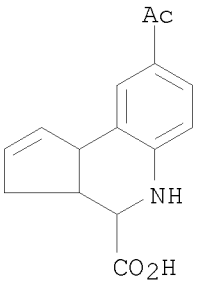
(kinase-interaction motif) was visible, which forms an N-terminal aliphatic helix with the phosphorylation site Thr66 in an accessible position. The WPD loop of PTPRR was open; however, in contrast with the structure of its mouse homolog, PTPSL, a salt bridge between the conserved lysine and aspartate residues, which has been postulated to confer a more rigid loop structure, thereby modulating activity in PTPSL, does not form in PTPRR. One of the identified inhibitor scaffolds, cyclopenta[c]quinoline, was docked successfully into PTPRR, suggesting several possibilities for hit expansion. The determined structures together with the established SAR (structure-activity relationship) propose new avenues for the development of selective inhibitors that may have therapeutic potential for treating neurodegenerative diseases in the case of PTPRR or acute myeloblastic leukemia targeting PTPN7.

IT 312713-97-6 312714-12-8 353484-21-6
353484-26-1 354815-90-0 496854-79-6
890052-36-5
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(human KIM domain-containing PTPN5, PTPRR and PTPN7 neg. regulate MAPK signaling)

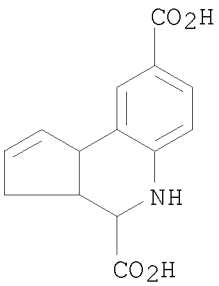
RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



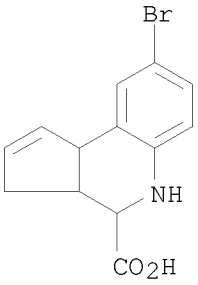
RN 312714-12-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-acetyl-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



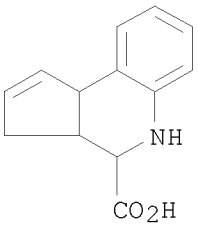
RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



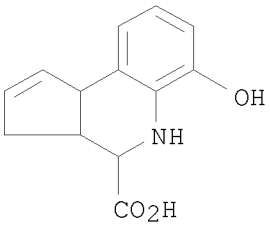
RN 353484-26-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 354815-90-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)

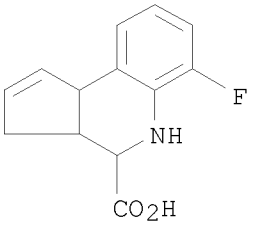


RN 496854-79-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-6-hydroxy- (CA INDEX NAME)



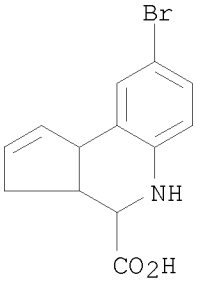
RN 890052-36-5 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,

6-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:83184 CAPLUS
DOCUMENT NUMBER: 144:225595
TITLE: Classification of Chemical Compounds by Protein-Compound Docking for Use in Designing a Focused Library
AUTHOR(S): Fukunishi, Yoshifumi; Mikami, Yoshiaki; Takedomi, Kei; Yamanouchi, Masaya; Shima, Hideaki; Nakamura, Haruki
CORPORATE SOURCE: Biological Information Research Center (BIRC), National Institute of Advanced Industrial Science and Technology (AIST), Tokyo, 135-0064, Japan
SOURCE: Journal of Medicinal Chemistry (2006), 49(2), 523-533
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We developed a new method for the classification of chemical compds. and protein pockets and applied it to a random screening experiment for macrophage migration inhibitory factor (MIF). The principal component anal. (PCA) method was applied to the protein-compound interaction matrix, which was given by thorough docking calcns. between a set of many protein pockets and chemical compds. Each compound and protein pocket was depicted as a point in the PCA spaces of compds. and proteins, resp. This method was applied to distinguish active compds. from neg. compds. of MIF. A random screening experiment for MIF was performed, and our method revealed that the active compds. were localized in the PCA space of compds., while the neg. compds. showed a wide distribution. Furthermore, protein pockets, which bind similar compds., were classified and were found to form a cluster in the PCA space.
IT 353484-26-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(classification of chemical compds. by protein-compound docking for use in designing a focused library)
RN 353484-26-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-bromo-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:259866 CAPLUS
DOCUMENT NUMBER: 142:309862
TITLE: Antibiotic cycloalkyltetrahydroquinoline derivatives
INVENTOR(S): Labaudiniere, Richard F.; Xiang, Yibin; Jalluri, Ravi K.; Arvanites, Anthony C.
PATENT ASSIGNEE(S): Oscient Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

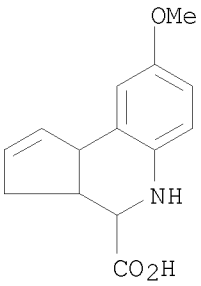
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005025556	A2	20050324	WO 2004-US25937	20040811
WO 2005025556	A3	20070125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004271932	A1	20050324	AU 2004-271932	20040811
CA 2534957	A1	20050324	CA 2004-2534957	20040811
EP 1765784	A2	20070328	EP 2004-816173	20040811
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007513055	T	20070524	JP 2006-523309	20040811
IN 2006DN00684	A	20070817	IN 2006-DN684	20060210
US 20060287351	A1	20061221	US 2006-568252	20060802
PRIORITY APPLN. INFO.:			US 2003-494669P P	20030813
			WO 2004-US25937 W	20040811

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 142:309862
AB A method of treating a subject for a bacterial infection includes administering to a subject in need of treatment for a bacterial infection an effective amount of a cycloalkyltetrahydroquinoline compound, or a

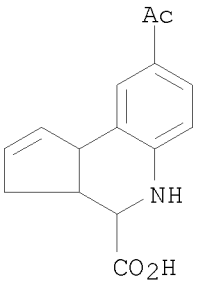
pharmaceutically acceptable salt, solvate, or hydrate thereof. The infection is caused by a bacterium that expresses phosphoenolpyruvate-UDP-N-acetyl-D-glucosamine 1-carboxyvinyltransferase (MurA, E.C. 2.1.5.7). Various cycloalkyltetrahydroquinoline compds. were prepared and tested in vitro for inhibition of MurA.

IT 247225-89-4P 312714-12-8P 316187-19-6P
342405-93-0P 347362-65-6P 353484-21-6P
354815-91-1P 354816-24-3P 497915-03-4P
848085-68-7P 848085-69-8P 848085-70-1P
848085-71-2P 848085-72-3P 848085-74-5P
848085-75-6P 848085-76-7P 848085-79-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cycloalkyltetrahydroquinoline antibiotics as MurA inhibitors for treatment of bacterial infections)

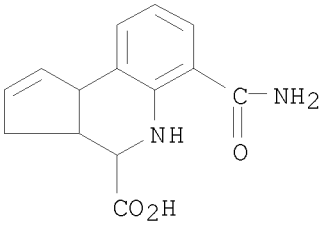
RN 247225-89-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-methoxy- (CA INDEX NAME)



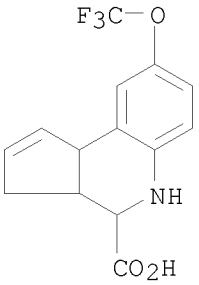
RN 312714-12-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-acetyl-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



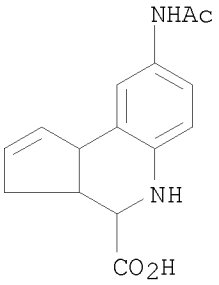
RN 316187-19-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 6-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



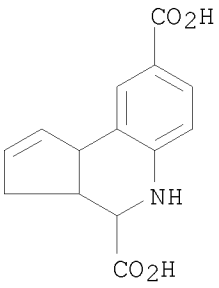
RN 342405-93-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (CA INDEX NAME)



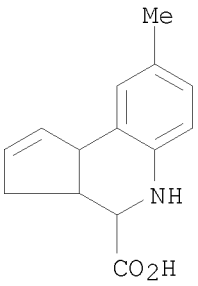
RN 347362-65-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
8-(acetylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



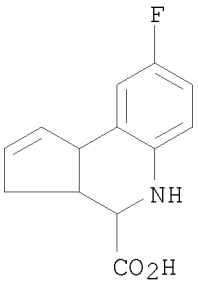
RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro-
(CA INDEX NAME)



RN 354815-91-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-8-methyl- (CA INDEX NAME)



RN 354816-24-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 497915-03-4 CAPLUS
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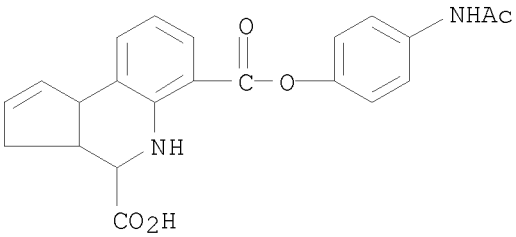
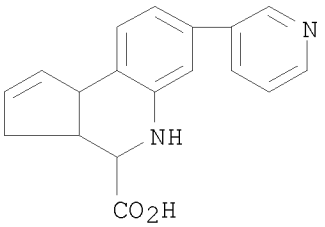
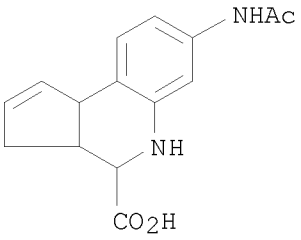
6-[4-(acetylamino)phenyl] ester (CA INDEX NAME)



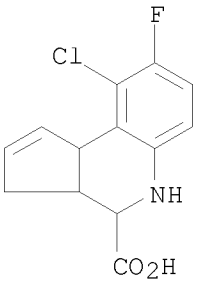
RN 848085-68-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-7-(3-pyridinyl)- (CA INDEX NAME)



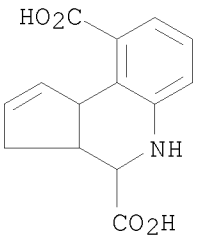
RN 848085-69-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
7-(acetylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



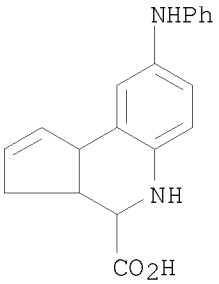
RN 848085-70-1 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
9-chloro-8-fluoro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 848085-71-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,9-dicarboxylic acid, 3a,4,5,9b-tetrahydro-
(CA INDEX NAME)



RN 848085-72-3 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-8-(phenylamino)- (CA INDEX NAME)



RN 848085-74-5 CAPLUS
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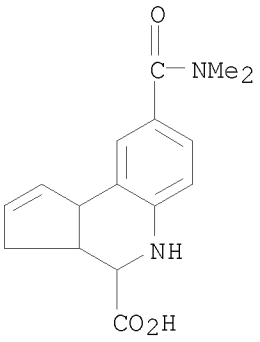
8-[(dimethylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 848085-75-6 CAPLUS
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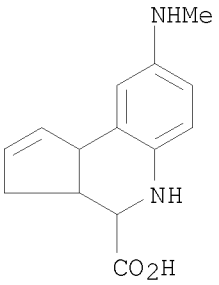
3a,4,5,9b-tetrahydro-8-(methylamino)- (CA INDEX NAME)



RN 848085-76-7 CAPLUS
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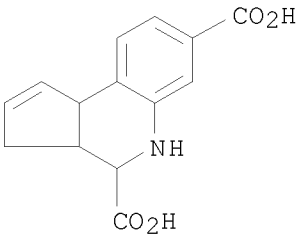
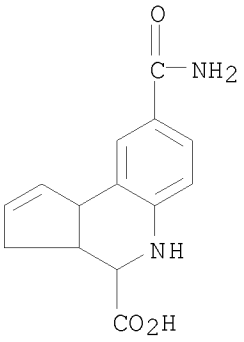
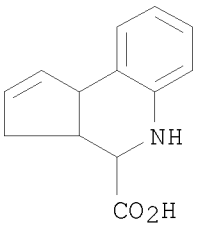
(CA INDEX NAME)



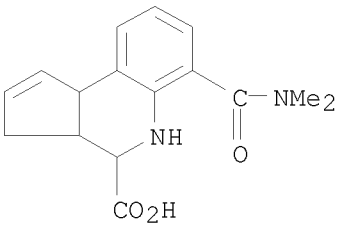
RN 848085-79-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
8-(aminocarbonyl)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



IT 354815-90-0 497141-19-2 848085-81-4
848085-87-0 848085-93-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cycloalkyltetrahydroquinoline antibiotics as MurA inhibitors for treatment of bacterial infections)
RN 354815-90-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 497141-19-2 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
6-[(dimethylamino)carbonyl]-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 848085-81-4 CAPLUS
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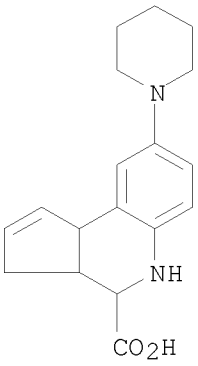
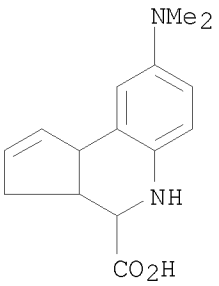
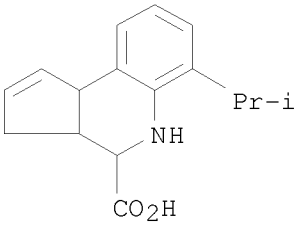
3a,4,5,9b-tetrahydro-8-(1-piperidinyl)- (CA INDEX NAME)



RN 848085-87-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
8-(dimethylamino)-3a,4,5,9b-tetrahydro- (CA INDEX NAME)

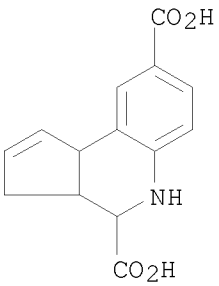


RN 848085-93-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
3a,4,5,9b-tetrahydro-6-(1-methylethyl)- (CA INDEX NAME)

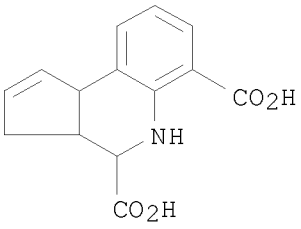


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

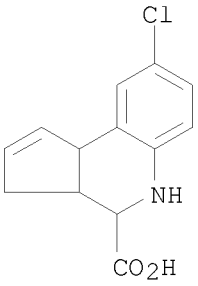
L3 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:835560 CAPLUS
DOCUMENT NUMBER: 142:34366
TITLE: Discovery and characterization of novel small molecule inhibitors of human Cdc25B dual specificity phosphatase
AUTHOR(S): Brisson, Marni; Nguyen, Theresa; Vogt, Andreas; Yalowich, Jack; Giorgianni, Angela; Tobi, Dror; Bahar, Ivet; Stephenson, Corey R. J.; Wipf, Peter; Lazo, John S.
CORPORATE SOURCE: Department of Pharmacology and the Fiske Drug Discovery Laboratory, University of Pittsburgh, Pittsburgh, PA, USA
SOURCE: Molecular Pharmacology (2004), 66(4), 824-833
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:34366
AB Cdc25A and Cdc25B dual-specificity phosphatases are key regulators of cell cycle transition and proliferation. They have oncogenic properties and are over-expressed in many human tumors. Because selective Cdc25 phosphatase inhibitors would be valuable biol. tools and possible therapeutic agents, we have assayed a small mol. library for in vitro inhibition of Cdc25. We now report the identification of two new structurally distinct classes of Cdc25 inhibitors with cellular activity. The cyclopentaquinoline 3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinoline-4,8-dicarboxylic acid (5661118) and the naphthofurandione 3-benzoyl-naphtho[1,2-b]furan-4,5-dione (5169131) had in vitro IC50 values of 2.5 to 11 μM against recombinant Cdc25 and were less potent inhibitors of other phosphatases. Unlike 5661118, 5169131 caused reversible inhibition of Cdc25B and displayed competitive inhibitor kinetics. No growth inhibitory activity was seen with 5661118, whereas 10 to 30 μM 5169131 caused G1/S and G2/M arrest. We also found that 5169131 inhibited human PC-3 prostate and MDA-MB-435 breast cancer cell proliferation. Concentration-dependent Tyr15 hyperphosphorylation was seen on cyclin-dependent kinase with a 1-h 5169131 treatment, consistent with Cdc25 inhibition. Cells resistant to DNA topoisomerase II inhibitors were as sensitive to 5169131 as parental cells, indicating that this quinone compound does not inhibit topoisomerase II in vivo. Mol. modeling was used to predict a potential interaction site between the inhibitor and Cdc25B and to provide insights as to the mol. origins of the exptl. observations. Based on its kinetic profile and cellular activity, we suggest that 5169131 could be an excellent tool for further studies on the cellular roles of Cdc25.
IT 353484-21-6
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(discovery and characterization of novel small mol. inhibitors of human Cdc25B dual specificity phosphatase)
RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



IT 312713-97-6 353484-48-7
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discovery and characterization of novel small mol. inhibitors of human Cdc25B dual specificity phosphatase)
RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 353484-48-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-chloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:696357 CAPLUS
DOCUMENT NUMBER: 141:243351
TITLE: Preparation of tetrahydroquinolines as nuclear receptors modulators
INVENTOR(S): Koutnikova, Hana; Sierra, Michael; Braun-Egles, Anne; Marsol, Claire; Klotz, Evelyne; Lehmann, Juergen

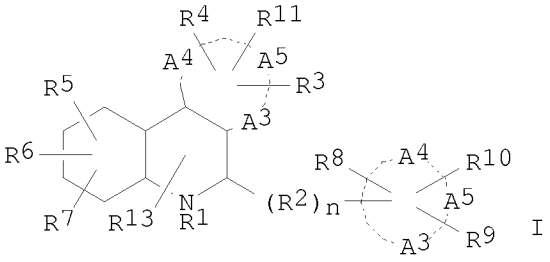
PATENT ASSIGNEE(S): Carex S.A., Fr.
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072046	A2	20040826	WO 2004-EP1280	20040211
WO 2004072046	A3	20041021		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
EP 2003-360025	A	20030212
EP 2003-360029	A	20030212
US 2003-456955P	P	20030325
EP 2003-360083	A	20030704

OTHER SOURCE(S): MARPAT 141:243351
GI



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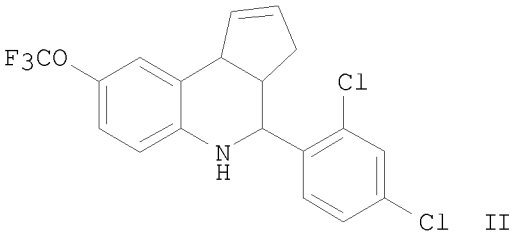


II

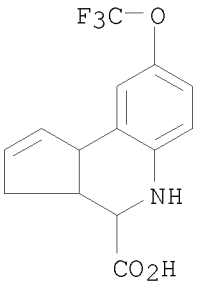
AB Title compds. represented by the formula I [wherein R1 = H, Cl, F, (cyclo)alkyl, alkylcycloalkyl, CF3, etc.; R2, R14 = independently CH2, (CH2)A1(CH2) or (CH2)A1(CH2)A2(CH2); a, b, c = independently 0-4; A1, A2 = independently CO, O, SO2, etc.; R3-R4, R8-R11 = independently H, amino, alkyl, halo, etc.; R12 = H, Cl, CF3, (cyclyl)alkyl, etc.; R13 = H, hydroxy, alkyl, carboxylic acid, etc.; R5-R7 = independently (R14)-R12; n = 0-6; A3-A5 = independently C, N, O, S; and analogs, derivs., solvates or salts thereof] were prepared as liver-receptors (LXR) modulators. For example, reaction of 4-trifluoromethoxyphenylamine with 2,4-dichlorobenzaldehyde and cyclopentadiene gave II in 70% yield. II was tested for dose response induction of ABCA1, FAS, SREBP1c and Angtp13 gene

expression, HDL cholesterol plasma and liver triglyceride levels change. In addition, I were tested for binding activity with human LXRα and LXRβ (Ki = 1000-3000 nM), activation of gene implicated in cholesterol efflux, etc. Thus, I and their pharmaceutical compns. are useful for the prevention or treatment of hyperlipidemia, obesity, type II diabetes, atherosclerosis, ischemic heart disease, peripheral vascular disease, cerebral vascular disease, hypercholesterolemia, hypertriglyceridemia, pancreatitis or coronary artery disease.

IT 342405-93-0P, CRX 000762
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinolines as nuclear receptor modulators)

RN 342405-93-0 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 3a,4,5,9b-tetrahydro-8-(trifluoromethoxy)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:1006781 CAPLUS
DOCUMENT NUMBER: 140:23241
TITLE: Anti-inflammatory compositions and methods of use
INVENTOR(S): McMaster, Brian
PATENT ASSIGNEE(S): Chemocentryx, USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105857	A1	20031224	WO 2003-US16558	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030236249	A1	20031225	US 2002-171097	20020612

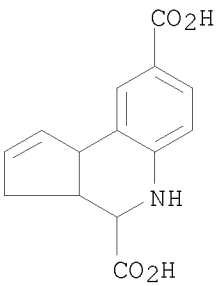
US 6727241	B2	20040427			
CA 2487331	A1	20031224	CA 2003-2487331		20030527
CA 2487331	C	20080812			
AU 2003234642	A1	20031231	AU 2003-234642		20030527
AU 2003234642	B2	20090604			
EP 1534293	A1	20050601	EP 2003-729143		20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1658881	A	20050824	CN 2003-813413		20030527
CN 100506231	C	20090701			
JP 2005538060	T	20051215	JP 2004-512760		20030527
KR 915743	B1	20090904	KR 2004-720054		20030527
US 20070072875	A1	20070329	US 2003-536071		20030530
MX 2004012389	A	20050622	MX 2004-12389		20041209
HK 1081864	A1	20100319	HK 2006-102229		20060220
PRIORITY APPLN. INFO.:			US 2002-171097	A	20020612
			WO 2003-US16558	W	20030527

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:23241

AB The present invention is directed to pharmaceutical compns. containing active compds., which inhibit the activity of the chemokines, MIP-1α and RANTES. It also is directed to methods of treating inflammatory and immunoregulatory disorders and diseases using these pharmaceutical compns. Calcium signaling inhibition by and affinity values for CCR1-MIP-1α binding for a few compds. are provided.

IT 353484-21-6, CCX 1959
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-inflammatory compds. which inhibit activity of MIP-1α and RANTES)

RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



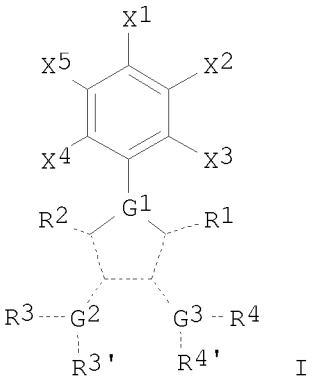
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:719265 CAPLUS
DOCUMENT NUMBER: 139:240337
TITLE: Pin1 peptidyl prolyl isomerase-modulating compounds and methods of use in the treatment of cancer and other Pin1-associated conditions
INVENTOR(S): Mckee, Timothy D.; Suto, Robert K.
PATENT ASSIGNEE(S): Pintex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003073999	A2	20030912	WO 2003-US6399	20030303
WO 2003073999	A3	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003217870	A1	20030916	AU 2003-217870	20030303
US 20040180889	A1	20040916	US 2003-379404	20030303
PRIORITY APPLN. INFO.:			US 2002-361231P P	20020301
			WO 2003-US6399 W	20030303

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:240337
GI

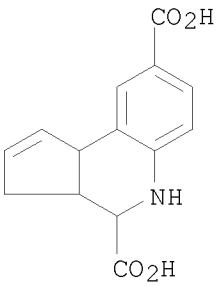


I

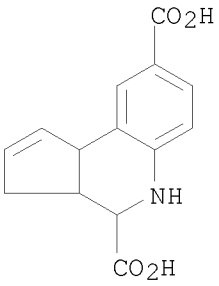
AB The invention discloses modulators, e.g., inhibitors of Pin1 and Pin1-related proteins, and the use of such modulators for treatment of Pin1-associated states, e.g., for the treatment of cancer. Compds. of the invention include I [dashed lines = single or double bonds; G1 = CH, N; G2, G3 = H, N, CH2, CH, NH; R1, R2, R3, R3', R4, R4', X1-X5 = H, acyl, (un)substituted alkyl, etc.]. Determination of Pin1 overexpression in a variety of tumor types is also presented.

IT 353484-21-6 353484-21-6D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Pin1 peptidyl prolyl isomerase-modulating compds. for treatment of cancer and other Pin1-associated conditions)

RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 353484-21-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,8-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:591149 CAPLUS
DOCUMENT NUMBER: 139:133474
TITLE: Method for the production of 1,2,3,4-tetrahydroquinoline-2-carboxylic acids
INVENTOR(S): Przewosny, Michael Thomas
PATENT ASSIGNEE(S): Gruenenthal Gmbh, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062202	A2	20030731	WO 2003-EP82	20030108
WO 2003062202	A3	20040122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

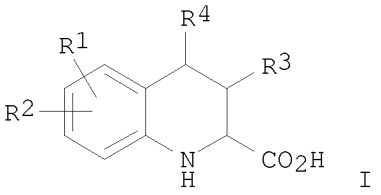
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10202864	A1	20030731	DE 2002-10202864	20020124
AU 2003202547	A1	20030902	AU 2003-202547	20030108
EP 1470110	A2	20041027	EP 2003-701493	20030108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050004366	A1	20050106	US 2004-896728	20040722
US 7145011	B2	20061205		

PRIORITY APPLN. INFO.: DE 2002-10202864 A 20020124
WO 2003-EP82 W 20030108

OTHER SOURCE(S): CASREACT 139:133474; MARPAT 139:133474

GI



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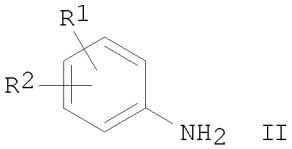


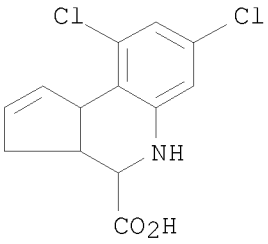
II

AB Title compds. [I; R1, R2 = H, halo, CF3, (branched) (saturated) aliphatic residue bonded via O; or R1R2 = C3-5 chain; R3R4 = (saturated) aliphatic C3-5 chain; R3 = (branched) (saturated) aliphatic C1-6 residue, (substituted) (hetero)aryl; R4 = (branched) (saturated) aliphatic C1-6 residue, (substituted) (hetero)aryl], were prepared by reacting II (R1, R2 as above), glyoxylic acid or glyoxylic acid hydrate, and an olefins (Z/E) R3CH:CHR4 (III; R3, R4 as above) in a solvent under microwave irradiation; whereby III and glyoxylic acid or glyoxylic acid hydrate are in excess. Thus, 3,5-dichloroaniline, glyoxylic acid hydrate, and cyclopentadiene in MeCN was heated to 50° by microwave irradiation of 800 W within 0.5 min followed by further microwave irradiation at 50° for 5 min to give 98% 7,9-dichloro-3a,45,9b-tetrahydro-3H-cyclopenta[c]quinoline-4-carboxylic acid. Derivs. of the latter are NMDA antagonists binding NMDA ion channel at Glycine B binding site (no data).

IT 354809-23-7P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method for production of tetrahydroquinolinecarboxylic acids)

RN 354809-23-7 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7,9-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



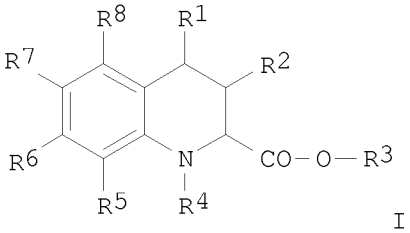
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:133043 CAPLUS
DOCUMENT NUMBER: 138:170085
TITLE: Preparation of 1,2,3,4-tetrahydroisoquinoline-2-carboxylic acids as NMDA antagonist for the treatment of pain
INVENTOR(S): Maul, Corinna; Przewosny, Michael; Englberger, Werner Guenter
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013530	A2	20030220	WO 2002-EP8729	20020805
WO 2003013530	A3	20030925		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10137488	A1	20030220	DE 2001-10137488	20010803
CA 2456103	A1	20030220	CA 2002-2456103	20020805
AU 2002336948	A1	20030224	AU 2002-336948	20020805
EP 1411947	A2	20040428	EP 2002-772122	20020805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011733	A	20040921	BR 2002-11733	20020805
CN 1561215	A	20050105	CN 2002-819413	20020805
JP 2005501839	T	20050120	JP 2003-518539	20020805
NO 2004000423	A	20040308	NO 2004-423	20040130
MX 2004000952	A	20040420	MX 2004-952	20040130
US 20040224969	A1	20041111	US 2004-770123	20040203
ZA 2004001724	A	20050201	ZA 2004-1724	20040302

PRIORITY APPLN. INFO.: DE 2001-10137488 A 20010803
WO 2002-EP8729 W 20020805

OTHER SOURCE(S): MARPAT 138:170085
GI



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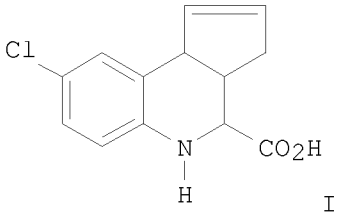
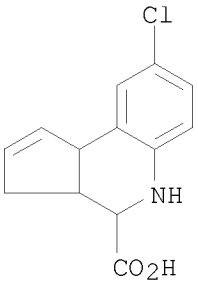


II

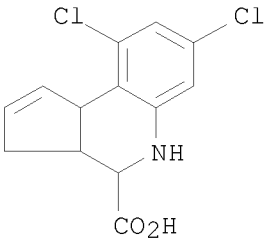
AB Title compds. I [R1 and R2 together = (CH2)n, CH:CHCH2, CH2CH:CH, etc.; n = 3-10; R3 = H, alkyl, alkenyl, etc.; R4 = R4a, ZR4a; Z = (un)substituted alkyl, alkenyl, alkynyl; R4a = H, alkyl, alkenyl, etc.; R5, R6, R7, R8 = H, halo, CN, etc.] and their pharmaceutically acceptable salts were prepared For example, trifluoroacetic acid catalyzed three-component coupling of 1,3-cyclopentadiene, 4-chlorobenzenamine and oxoacetic acid Et ester, followed by ester hydrolysis provided claimed isoquinoline II (no data provided). In glycine binding site studies of the NMDA receptor channel, one specific example of compound I, isoquinoline II exhibited a Ki = 0.3 μM. Compds. I are claimed useful as analgesic agents for the treatment of pain.

IT 353484-48-7P 354809-23-7P 354810-19-8P, 1,3-Dichloro-5,6a,7,11b-tetrahydro-6H-indeno[2,1-c]chinolin-6-carboxylic acid 497843-32-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydroisoquinolinecarboxylic acids as NMDA antagonist for the treatment of pain)

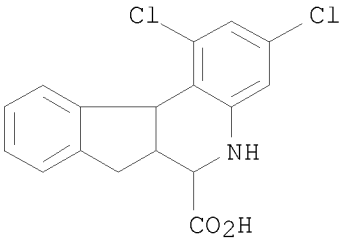
RN 353484-48-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-chloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



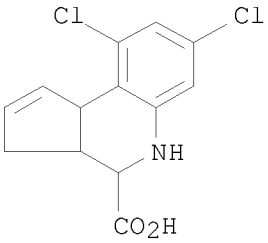
RN 354809-23-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 7,9-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 354810-19-8 CAPLUS
CN 5H-Indeno[2,1-c]quinoline-6-carboxylic acid,
1,3-dichloro-6,6a,7,11b-tetrahydro- (CA INDEX NAME)



RN 497843-32-0 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
7,9-dichloro-3a,4,5,9b-tetrahydro-, sodium salt (1:1) (CA INDEX NAME)



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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:597963 CAPLUS
DOCUMENT NUMBER: 135:180709
TITLE: Substituted 1,2,3,4-tetrahydroquinoline-2-carboxylic acid derivatives
INVENTOR(S): Gerlach, Matthias; Przewosny, Michael; Englberger, Werner; Reissmueller, Elke; Bloms-Funke, Petra; Maul, Corinna; Jagusch, Utz-Peter
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058875	A2	20010816	WO 2001-EP588	20010119
WO 2001058875	A3	20020124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10005302	A1	20020117	DE 2000-10005302	20000207
CA 2416343	A1	20010816	CA 2001-2416343	20010119
EP 1254118	A2	20021106	EP 2001-901176	20010119
EP 1254118	B1	20051109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522758	T	20030729	JP 2001-558426	20010119
HU 2003001080	A2	20030828	HU 2003-1080	20010119
HU 2003001080	A3	20100428		
NZ 521088	A	20040528	NZ 2001-521088	20010119
AU 2001226794	B2	20050602	AU 2001-226794	20010119
AT 309220	T	20051115	AT 2001-901176	20010119
ES 2250345	T3	20060416	ES 2001-901176	20010119
MX 2002007661	A	20021213	MX 2002-7661	20020807
US 20030087926	A1	20030508	US 2002-213436	20020807
US 6699877	B2	20040302		

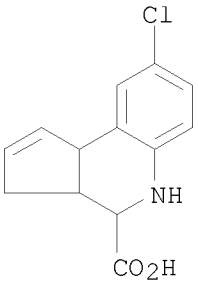
PRIORITY APPLN. INFO.: DE 2000-10005302 A 20000207
WO 2001-EP588 W 20010119

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:180709

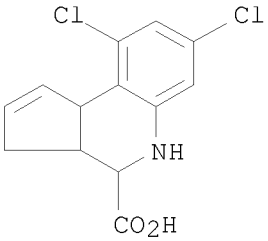
AB The invention concerns substituted 1,2,3,4-tetrahydroquinoline-2-carboxylic acid derivs., a method for the production of these derivs., their use in the production of medicaments and medicaments containing these compds. for use as analgesics.

IT 353484-48-7P 354809-23-7P 354810-19-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation as analgesics)

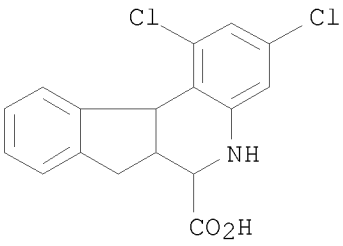
RN 353484-48-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-chloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 354809-23-7 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid,
7,9-dichloro-3a,4,5,9b-tetrahydro- (CA INDEX NAME)



RN 354810-19-8 CAPLUS
CN 5H-Indeno[2,1-c]quinoline-6-carboxylic acid,
1,3-dichloro-6,6a,7,11b-tetrahydro- (CA INDEX NAME)



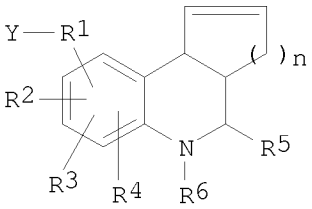
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:543216 CAPLUS
DOCUMENT NUMBER: 129:175562
ORIGINAL REFERENCE NO.: 129:35684h,35685a
TITLE: Tricyclic tetrahydroquinoline derivatives and tricyclic tetrahydroquinoline combinatorial libraries
INVENTOR(S): Hayes, Thomas K.; Kiely, John S.
PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

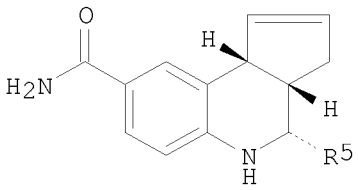
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834111	A1	19980806	WO 1997-US22206	19971205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5925527	A	19990720	US 1997-795893	19970204
CA 2279980	A1	19980806	CA 1997-2279980	19971205
AU 9855928	A	19980825	AU 1998-55928	19971205
NZ 337046	A	20000128	NZ 1997-337046	19971205
EP 983507	A1	20000308	EP 1997-952280	19971205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1997-795893 A 19970204
WO 1997-US22206 W 19971205
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 129:175562
GI



I



II

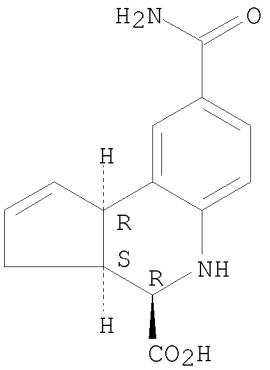
AB The invention relates to novel tricyclic tetrahydroquinoline compds. I, their salts, and combinatorial libraries containing mixts. of two or more such compds. [wherein R1 = bond, (un)substituted alk(en/yn)ylene, cycloalk(en)ylene, phenylene, naphthylene, heterocycle, heteroaryl, amino, CH2CONH, (CH2)pAr(CH2)q; p, q = 0-6 but both cannot be 0; Ar = (un)substituted Ph or heteroaryl; R2, R3, R4 = H, halo, (un)protected OH, cyano, NO2, (un)substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl, heterocyclyl, phenylalkyl, Ph, naphthyl, etc.; R5 = H, (un)substituted alk(en/yn)yl, cycloalk(en)yl, Ph, naphthyl, phenylalkyl, (un)protected CO2H, acyl, heterocyclyl, etc.; R6 = H, (un)substituted alkyl, phenylalkyl, acyl, PhSO2, alkylsulfonyl, alkylaminocarbonyl, PhNHCO; n = 1-3; Y = CO2H, OH, SH, NHR7, CONHR7, CH2OH, CH2NH2, CH2NHR7; R7 = H, (un)substituted alkyl, or functionalized resin; R1 must be present and R5 ≠ Ph when Y = CO2H]. The invention also relates to the generation of such libraries. In 2 examples, libraries of 2774 and approx. 17,000 compds. I were prepared as mixed sublibraries. Data for control compds. (samples of individually known intermediates and products, cleaved from simultaneously processed control resins) are given. For instance, tea-bags of MBHA resin were each coupled with one of 19 aminobenzoic acids, such as 4-aminobenzoic acid. Diagnostic cleavage of each of these resins with HF gave 19 aminobenzamide controls in 34-99% yield. The 19 resins were mixed together and placed in new tea-bags, then condensed with

73 different aldehydes, and finally cyclized with cyclopentadiene. Cleavage of the resin-bound products with HF gave approx. 73 mixts. of 38 compds. (counting sep. enantiomers). Individual control samples of products, such as II [R5 = H, CH2Cl, cyclohexyl, CO2H, (un)substituted Ph, etc.], were typically obtained in 50-100% yield by reactions of pure, resin-bound 4-aminobenzoic acid control samples in sibling tea-bags. Potential applications of I (no data) may include use as antibacterials or analgesics.

IT 211374-88-8P 211377-35-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(resin-cleavage control product; preparation of tricyclic tetrahydroquinoline derivs. and combinatorial libraries)

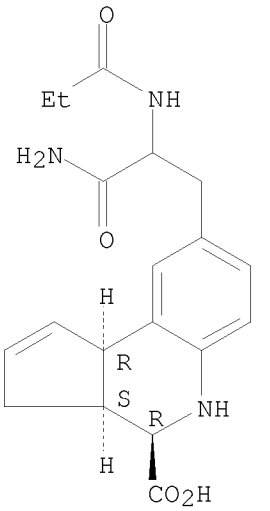
RN 211374-88-8 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-(aminocarbonyl)-3a,4,5,9b-tetrahydro-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 211377-35-4 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4-carboxylic acid, 8-[3-amino-3-oxo-2-[(1-oxopropyl)amino]propyl]-3a,4,5,9b-tetrahydro-, (3aR,4S,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

(14 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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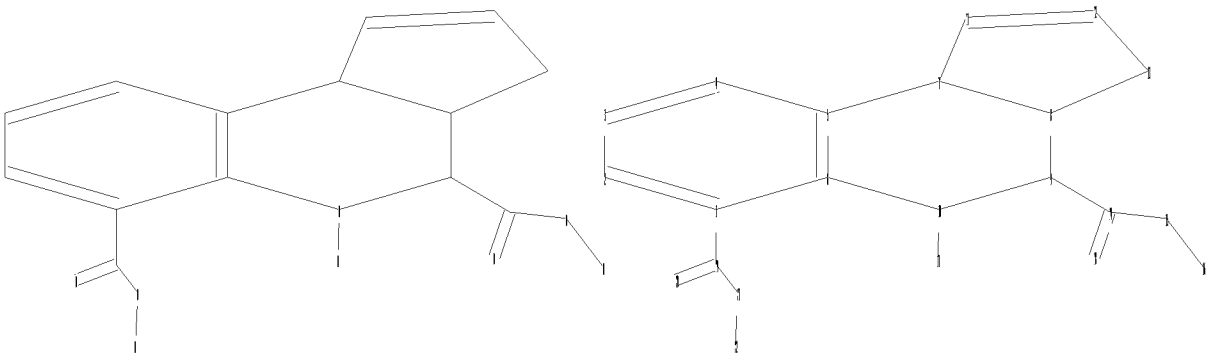

chain nodes :
14 15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
1-19 9-14 10-17 14-15 14-18 15-16 19-20 19-21 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13
exact/norm bonds :
5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13
exact bonds :
1-19 9-14 10-17 15-16 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-18 19-20 19-21

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS

L4 STRUCTURE UPLOADED

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	252.33	446.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-36.55	-36.55

FILE 'REGISTRY' ENTERED AT 22:42:23 ON 18 MAY 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAY 2010 HIGHEST RN 1224322-63-7
DICTIONARY FILE UPDATES: 17 MAY 2010 HIGHEST RN 1224322-63-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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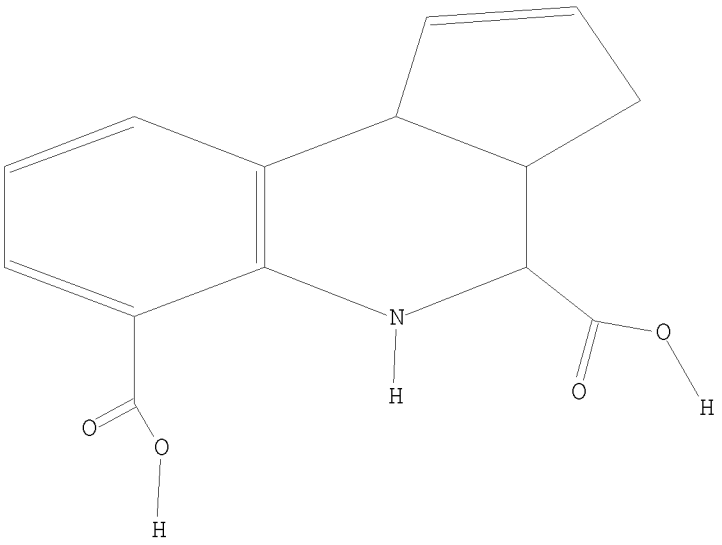

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED -   273 TO ITERATE

100.0% PROCESSED   273 ITERATIONS   2 ANSWERS
SEARCH TIME: 00.00.01

L5   2 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	191.54	637.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-36.55

FILE 'CAPLUS' ENTERED AT 22:42:54 ON 18 MAY 2010
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 May 2010 VOL 152 ISS 21
FILE LAST UPDATED: 17 May 2010 (20100517/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5 1-2 ibib abs hitstr
MISSING OPERATOR L5 1-2
The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d l5 1-2 ibib abs hitstr
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data

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IDE    - FIDE, but only 50 names
SQIDE  - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD    - Protein sequence data, includes RN
SQD3   - Same as SQD, but 3-letter amino acid codes are used
SQN    - Protein sequence name information, includes RN

EPROP  - Table of experimental properties
PPROP  - Table of predicted properties
PROP   - EPROP, ETAG, PPROP

Any CA File format may be combined with any substance format to
obtain CA references citing the substance.  The substance formats
must be cited first.  The CA File predefined formats are:

ABS  -- Abstract
APPS -- Application and Priority Information
BIB  -- CA Accession Number, plus Bibliographic Data
CAN  -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND  -- Index Data
IPC  -- International Patent Classification
PATS -- PI, SO
STD  -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when
it is available.
The MAX format is the same as ALL plus SPEC.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.

For additional information, please consult the following help
messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):

L5   ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2010 ACS on STN
RN   354820-32-9  REGISTRY
ED   Entered STN:  05 Sep 2001
CN   3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid,
     3a,4,5,9b-tetrahydro-9-nitro-  (CA INDEX NAME)
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SR   Chemical Library
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LC   STN Files:   CHEMCATS
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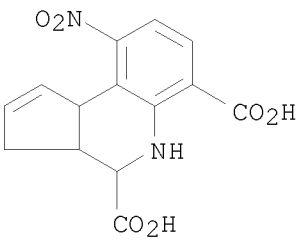

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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RN 312713-97-6 REGISTRY
ED Entered STN: 04 Jan 2001
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(CA INDEX NAME)
MF C14 H13 N O4
SR Chemical Library
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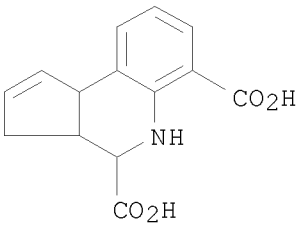
LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d his

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FILE 'REGISTRY' ENTERED AT 22:36:40 ON 18 MAY 2010
L1 STRUCTURE UPLOADED
L2 178 S L1 FULL

FILE 'CAPLUS' ENTERED AT 22:39:25 ON 18 MAY 2010
L3 43 S L2
L4 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 22:42:23 ON 18 MAY 2010
L5 2 S L4 FULL

FILE 'CAPLUS' ENTERED AT 22:42:54 ON 18 MAY 2010

FILE 'REGISTRY' ENTERED AT 22:43:31 ON 18 MAY 2010

FILE 'CAPLUS' ENTERED AT 22:43:34 ON 18 MAY 2010

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FULL ESTIMATED COST 2.00 644.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -36.55

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FILE COVERS 1907 - 18 May 2010 VOL 152 ISS 21
FILE LAST UPDATED: 17 May 2010 (20100517/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5
L6 10 L5

=> d l6 1-10 ibib abs hitstr

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:20794 CAPLUS
DOCUMENT NUMBER: 152:136788
TITLE: Heparan sulfate inhibitors
INVENTOR(S): Crawford, Brett E.; Glass, Charles A.; Brown, Jillian R.; Witt, Robert G.; Vollrath, Benedikt; Lichter, Jay
PATENT ASSIGNEE(S): Zacharon Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 167pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010003023	A2	20100107	WO 2009-US49450	20090701
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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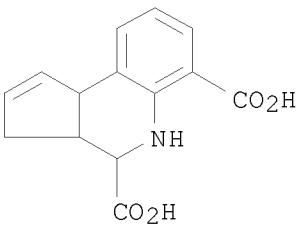
US 20100048638	A1	20100225	US 2009-496548	20090701
PRIORITY APPLN. INFO.:			US 2008-77448P	P 20080701
			US 2009-159976P	P 20090313
			US 2009-164286P	P 20090327

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 152:136788

AB Provided herein are heparan sulfate inhibitors, including modulators of heparan sulfate glycosylation, heparan sulfate sulfation, and/or heparan sulfate epimerization. Provided in certain embodiments, herein is a process for modifying the structure of a glycosaminoglycan (e.g., heparan sulfate) on a core protein, comprising contacting a cell that translationally produces at least one core protein having at least one attached glycosaminoglycan (e.g., heparan sulfate) moiety with a selective inhibitor of glycosaminoglycan (e.g., heparan sulfate) biosynthesis, including a heparan sulfate glycosyltransferase, a heparan sulfate sulfotransferase, a heparan sulfate phosphotransferase, or a heparan sulfate epimerase. Provided in some embodiments herein is a process of inhibiting heparan sulfate function in a cell comprising contacting the cell with a selective modulator of heparan sulfate biosynthesis. In certain embodiments, the cell is present in a human diagnosed with cancer. Provided in certain embodiments herein is a method of treating a lysosomal storage disease.

IT 312713-97-6
RL: PAC (Pharmacological activity); PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heparan sulfate inhibitors in relation to attachment to proteins for treatment of cancer and lysosomal storage disease)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:523938 CAPLUS
DOCUMENT NUMBER: 150:500577
TITLE: Cosmetic or dermatological composition comprising a

polymer bearing junction groups, and cosmetic treatment method

INVENTOR(S): Chodorowski-Kimmes, Sandrine; Giustiniani, Pascal
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: PCT Int. Appl., 74pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009053594	A2	20090430	WO 2008-FR51795	20081003
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2921831	A1	20090410	FR 2007-58099	20071005

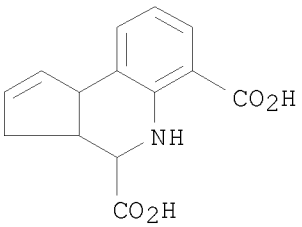
PRIORITY APPLN. INFO.: FR 2007-58099 A 20071005
US 2007-984738P P 20071102

AB The present application relates to a cosmetic or dermatol. composition comprising, in a cosmetically or dermatol. acceptable medium, a polymer comprising: (a) a polymeric backbone capable of being obtained by reacting: - a polyol comprising 3 to 6 hydroxyl groups; - a monocarboxylic acid containing 6 to 32 carbon atoms; - a polycarboxylic acid comprising at least two COOH carboxylic groups, and/or a cyclic anhydride of such a polycarboxylic acid and/or a lactone comprising at least one COOH carboxylic group; and (b) at least one junction group bonded to said polymeric backbone and capable of establishing H bonds with one or more partner junction groups, wherein each pairing of a junction group involves at least 3 H (hydrogen) bonds. The application also relates to a cosmetic treatment method using said composition Pentaerythrityl benzoate-isophthalate-isostearate was prepared and used in a lipstick at a concentration of 30%.

IT 312713-97-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic or dermatol. composition including polymer with linking groups and cosmetic treatment method)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



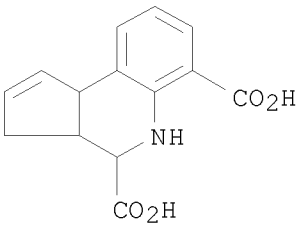
L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:523807 CAPLUS
DOCUMENT NUMBER: 150:480205
TITLE: Composition containing a polycondensate, polycondensate and cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009053587	A2	20090430	WO 2008-FR51788	20081002
WO 2009053587	A3	20090625		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
FR 2921829	A1	20090410	FR 2007-58058	20071004
PRIORITY APPLN. INFO.:			FR 2007-58058 A	20071004
			US 2007-984736P P	20071102

AB The invention relates to a cosmetic or pharmaceutical composition, in particular a make-up composition, containing a polycondensate that can be obtained by reacting: polyol having 3 to 6 hydroxyl groups; saturated or unsatd., non-aromatic monocarboxylic acid; aromatic monocarboxylic acid having 7 to 11 carbon atoms; and polycarboxylic acid selected from among polycarboxylic acids containing at least one heteroatom selected from O, N and/or S, sugar-derived polycarboxylic acids, itaconic anhydride, 1,4-monoanhydride of 1,4,5,8-naphthalenetetracarboxylic acid and polycarboxylic amino acids, and/or the anhydrides thereof, and/or a lactone containing at least one COOH group. The invention also relates to a cosmetic treatment method using said composition and to the polycondensate defined above.

IT 312713-97-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic compns. comprising condensation polymer and cosmetic treatment method)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:520016 CAPLUS
DOCUMENT NUMBER: 150:455845
TITLE: Cosmetic or pharmaceutical composition containing a polycondensate, polycondensate and cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

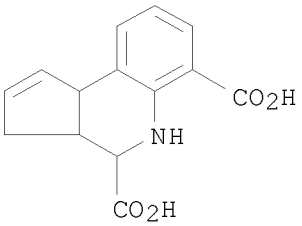
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009053584	A2	20090430	WO 2008-FR51782	20081002
WO 2009053584	A3	20091112		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
FR 2921828	A1	20090410	FR 2007-58057	20071004

PRIORITY APPLN. INFO.: FR 2007-58057 A 20071004
US 2007-984739P P 20071102

AB The invention relates to a cosmetic or pharmaceutical composition containing a polycondensate that can be obtained by reacting the following single monomers expressed as a percent by weight in relation to the total weight over the polycondensate: 10 - 30 weight-% of one or more poylols having 3 to 6 hydroxyl groups; 30 - 80 weight-% of one or more linear, branched and/or cyclic, saturated or unsatd., non-aromatic monocarboxylic acids having 6 to 32 carbon atoms; 1 - 40 weight-% of one or more polycarboxylic acids and/or cyclic anhydrides of one such polycarboxylic acid and/or lactones having at least one COOH group; and, optionally, 0.1 - 15 weight-% of one or more silicons having a hydroxyl and/or carboxylic function. The invention also relates to a cosmetic treatment method using said composition and to the polycondensate defined above.

IT 312713-97-6DP, condensation polymers
RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cosmetic or pharmaceutical composition including a polyol-carboxylic acid

condensation polymer)
RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:427447 CAPLUS
DOCUMENT NUMBER: 150:430676
TITLE: Cosmetic or pharmaceutical composition including a condensation polymer, the aforementioned condensation polymer and cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: Fr. Demande, 46pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2921828	A1	20090410	FR 2007-58057	20071004
WO 2009053584	A2	20090430	WO 2008-FR51782	20081002
WO 2009053584	A3	20091112		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: FR 2007-58057 A 20071004
US 2007-984739P P 20071102

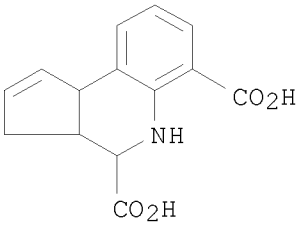
AB The present request relates to a cosmetic or pharmaceutical composition including a condensation polymer likely to be obtained by reaction of the monomeric following: - from 10 to 30% in weight, compared to the total weight of condensation polymer, of one or more polyols including 3 to 6 hydroxyl groups; - from 30 to 80% in weight, compared to the weight total of condensation polymer, of one or more nonarom. monocarboxylic acids, saturated or unsatd., linear, ramified and/or cyclic, including 6 to 32 carbon atoms; - from 1 to 40% in weight, compared to the total weight of condensation polymer, of one or more polycarboxylic acids and/or cyclic anhydrides of such including

polycarboxylic acids and/or lactones at least one COOH; plus an optional group, from 0.1 to 15% in weight compared to the total of condensation polymer, of one or more silicones with hydroxyl and/or carboxylic function. The request also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.

IT 312713-97-6DP, condensation polymers
RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cosmetic or pharmaceutical composition including a polyol-carboxylic acid condensation polymer)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:427446 CAPLUS
DOCUMENT NUMBER: 150:430675
TITLE: Cosmetic compositions comprising a condensation polymer and a cosmetic treatment method
INVENTOR(S): Malle, Gerard
PATENT ASSIGNEE(S): L'Oreal, Fr.
SOURCE: Fr. Demande, 49pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2921829	A1	20090410	FR 2007-58058	20071004
WO 2009053587	A2	20090430	WO 2008-FR51788	20081002
WO 2009053587	A3	20090625		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

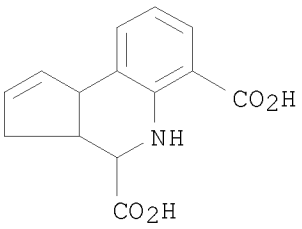
PRIORITY APPLN. INFO.: FR 2007-58058 A 20071004
US 2007-984736P P 20071102

AB The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a nonarom., saturated or unsatd. monocarboxylic acid; of an aromatic monocarboxylic acid (7-11 carbon atoms); and of polycarboxylic acids containing at least a heteroatom chosen from O, N, and/or S, from sugars, and polycarboxylic amino acids and/or their anhydrides, and/or a lactone. The invention also relates to a cosmetic process of treatment employing the aforementioned composition, as well as condensation polymer thus defined.

IT 312713-97-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic compns. comprising condensation polymer and cosmetic treatment method)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:427444 CAPLUS

DOCUMENT NUMBER: 150:430673

TITLE: Cosmetic or dermatological composition including a polymer with linking groups, and a cosmetic treatment method

INVENTOR(S): Chodorowski, Kimmes Sandrine; Giustiniani, Pascal

PATENT ASSIGNEE(S): L'Oreal, Fr.

SOURCE: Fr. Demande, 62pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2921831	A1	20090410	FR 2007-58099	20071005
WO 2009053594	A2	20090430	WO 2008-FR51795	20081003

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,

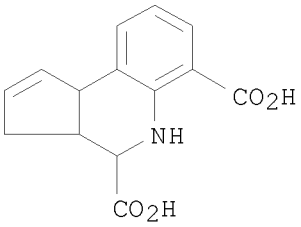
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: FR 2007-58099 A 20071005
US 2007-984738P P 20071102

AB The invention relates to a cosmetic or pharmaceutical composition in particular of make-up, including a condensation polymer obtained by reaction of the following components: of a polyol (3-6 OH groups); of a monocarboxylic acid (6-32 carbon atoms); and of polycarboxylic acids containing at least 2 CO2H groups and/or their cyclic anhydrides, and/or their lactones, and a group connected to the polymer chain by H bonds. The invention also relates to a cosmetic process of treatment employing the aforementioned composition

IT 312713-97-6D, condensation polymers
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cosmetic or dermatol. composition including polymer with linking groups and cosmetic treatment method)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:971074 CAPLUS
DOCUMENT NUMBER: 146:454203
TITLE: Selective inhibitors of bacterial DNA adenine methyltransferases
AUTHOR(S): Mashhoon, Neda; Pruss, Cynthia; Carroll, Michael; Johnson, Paul H.; Reich, Norbert O.
CORPORATE SOURCE: Pacific Technology Center, EpiGenX Pharmaceuticals, Santa Barbara, CA, USA
SOURCE: Journal of Biomolecular Screening (2006), 11(5), 497-510
CODEN: JBISF3; ISSN: 1087-0571
PUBLISHER: Sage Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

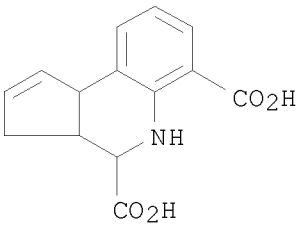
AB The authors describe the discovery and characterization of several structural classes of small-mol. inhibitors of bacterial DNA adenine methyltransferases. These enzymes are essential for bacterial virulence (DNA adenine methyltransferase [DAM]) and cell viability (cell cycle-regulated methyltransferase [CcrM]). Using a novel high-throughput fluorescence-based assay and recombinant DAM and CcrM, the authors screened a diverse chemical library. They identified 5 major structural classes of inhibitors composed of more than 350 compds.: cyclopentaquinolines, Ph vinyl furans, pyrimidine-diones, thiazolidine-4-ones, and phenyl-pyrroles. DNA binding assays were used to identify compds. that interact directly with DNA. Potent compds. selective for the bacterial target were identified, whereas other compds. showed greater selectivity for the mammalian DNA cytosine

methyltransferase, Dnmt1. Enzyme inhibition anal. identified mechanistically distinct compds. that interfered with DNA or cofactor binding. Selected compds. demonstrated cell-based efficacy. These small-mol. DNA methyltransferase inhibitors provide useful reagents to probe the role of DNA methylation and may form the basis of developing novel antibiotics.

IT 312713-97-6
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selective inhibitors of bacterial DNA adenine methyltransferases)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:334327 CAPLUS

DOCUMENT NUMBER: 145:42075

TITLE: Crystal structures and inhibitor identification for PTPN5, PTPRR and PTPN7: a family of human MAPK-specific protein tyrosine phosphatases

AUTHOR(S): Eswaran, Jeyanthy; von Kries, Jens Peter; Marsden, Brian; Longman, Emma; Debreczeni, Judit E.; Ugochukwu, Emilie; Turnbull, Andrew; Lee, Wen Hwa; Knapp, Stefan; Barr, Alastair J.

CORPORATE SOURCE: Structural Genomics Consortium, Botnar Research Centre, University of Oxford, Oxford, OX3 7LD, UK

SOURCE: Biochemical Journal (2006), 395(3), 483-491
CODEN: BIJOAK; ISSN: 0264-6021

PUBLISHER: Portland Press Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

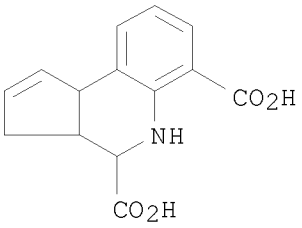
AB Protein tyrosine phosphatases PTPN5, PTPRR and PTPN7 comprise a family of phosphatases that specifically inactivate MAPKs (mitogen-activated protein kinases). We have determined high-resolution structures of all of the human family members, screened them against a library of 24000 compds. and identified two classes of inhibitors, cyclopenta[c]quinolinecarboxylic acids and 2,5-dimethylpyrrolyl benzoic acids. Comparative structural anal. revealed significant differences within this conserved family that could be explored for the design of selective inhibitors. PTPN5 crystallized, in two distinct crystal forms, with a sulfate ion in close proximity to the active site and the WPD (Trp-Pro-Asp) loop in a unique conformation, not seen in other PTPs, ending in a 310-helix. In the PTPN7 structure, the WPD loop was in the closed conformation and part of the KIM (kinase-interaction motif) was visible, which forms an N-terminal aliphatic helix with the phosphorylation site Thr66 in an accessible position. The WPD loop of PTPRR was open; however, in contrast with the structure of its

mouse homolog, PTPSL, a salt bridge between the conserved lysine and aspartate residues, which has been postulated to confer a more rigid loop structure, thereby modulating activity in PTPSL, does not form in PTPRR. One of the identified inhibitor scaffolds, cyclopenta[c]quinoline, was docked successfully into PTPRR, suggesting several possibilities for hit expansion. The determined structures together with the established SAR (structure-activity relationship) propose new avenues for the development of selective inhibitors that may have therapeutic potential for treating neurodegenerative diseases in the case of PTPRR or acute myeloblastic leukemia targeting PTPN7.

IT 312713-97-6
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(human KIM domain-containing PTPN5, PTPRR and PTPN7 neg. regulate MAPK signaling)

RN 312713-97-6 CAPLUS

CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

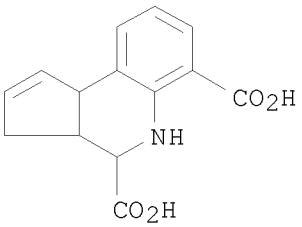
L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:835560 CAPLUS
DOCUMENT NUMBER: 142:34366
TITLE: Discovery and characterization of novel small molecule inhibitors of human Cdc25B dual specificity phosphatase
AUTHOR(S): Brisson, Marni; Nguyen, Theresa; Vogt, Andreas; Yalowich, Jack; Giorgianni, Angela; Tobi, Dror; Bahar, Ivet; Stephenson, Corey R. J.; Wipf, Peter; Lazo, John S.
CORPORATE SOURCE: Department of Pharmacology and the Fiske Drug Discovery Laboratory, University of Pittsburgh, Pittsburgh, PA, USA
SOURCE: Molecular Pharmacology (2004), 66(4), 824-833
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:34366

AB Cdc25A and Cdc25B dual-specificity phosphatases are key regulators of cell cycle transition and proliferation. They have oncogenic properties and are over-expressed in many human tumors. Because selective Cdc25 phosphatase inhibitors would be valuable biol. tools and possible therapeutic agents, we have assayed a small mol. library for in vitro inhibition of Cdc25. We now report the identification of two new structurally distinct classes of Cdc25 inhibitors with cellular activity.

The cyclopentaquinoline 3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinoline-4,8-dicarboxylic acid (5661118) and the naphthofurandione 3-benzoyl-naphtho[1,2-b]furan-4,5-dione (5169131) had in vitro IC50 values of 2.5 to 11 μM against recombinant Cdc25 and were less potent inhibitors of other phosphatases. Unlike 5661118, 5169131 caused reversible inhibition of Cdc25B and displayed competitive inhibitor kinetics. No growth inhibitory activity was seen with 5661118, whereas 10 to 30 μM 5169131 caused G1/S and G2/M arrest. We also found that 5169131 inhibited human PC-3 prostate and MDA-MB-435 breast cancer cell proliferation. Concentration-dependent Tyr15 hyperphosphorylation was seen on cyclin-dependent kinase with a 1-h 5169131 treatment, consistent with Cdc25 inhibition. Cells resistant to DNA topoisomerase II inhibitors were as sensitive to 5169131 as parental cells, indicating that this quinone compound does not inhibit topoisomerase II in vivo. Mol. modeling was used to predict a potential interaction site between the inhibitor and Cdc25B and to provide insights as to the mol. origins of the exptl. observations. Based on its kinetic profile and cellular activity, we suggest that 5169131 could be an excellent tool for further studies on the cellular roles of Cdc25.

IT 312713-97-6
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discovery and characterization of novel small mol. inhibitors of human Cdc25B dual specificity phosphatase)

RN 312713-97-6 CAPLUS
CN 3H-Cyclopenta[c]quinoline-4,6-dicarboxylic acid, 3a,4,5,9b-tetrahydro- (CA INDEX NAME)



OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>
=> s US 20060287351 A1/pn
L7 1 US 20060287351 A1/PN
(US20060287351/PN)

=> sel rn
E1 THROUGH E47 ASSIGNED

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	79.51	724.29
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.50	-45.05

FILE 'REGISTRY' ENTERED AT 23:08:34 ON 18 MAY 2010

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAY 2010 HIGHEST RN 1224322-63-7
DICTIONARY FILE UPDATES: 17 MAY 2010 HIGHEST RN 1224322-63-7

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TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s e1-e47
             1 118-92-3/BI
               (118-92-3/RN)
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1 922-68-9/BI
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L8 47 (118-92-3/BI OR 247225-89-4/BI OR 312714-12-8/BI OR 316187-19-6/BI OR 342405-93-0/BI OR 347362-65-6/BI OR 353484-21-6/BI OR 354815-90-0/BI OR 354815-91-1/BI OR 354816-24-3/BI OR 497141-19-2/BI OR 497915-03-4/BI OR 542-92-7/BI OR 848085-67-6/BI OR 848085-68

-7/BI OR 848085-69-8/BI OR 848085-70-1/BI OR 848085-71-2/BI OR 848085-72-3/BI OR 848085-73-4/BI OR 848085-74-5/BI OR 848085-75-6/BI OR 848085-76-7/BI OR 848085-77-8/BI OR 848085-78-9/BI OR 848085-79-0/BI OR 848085-80-3/BI OR 848085-81-4/BI OR 848085-82-5/BI OR 848085-83-6/BI OR 848085-84-7/BI OR 848085-85-8/BI OR 848085-86-9/BI OR 848085-87-0/BI OR 848085-88-1/BI OR 848085-89-2/BI OR 848085-90-5/BI OR 848085-91-6/BI OR 848085-92-7/BI OR 848085-93-8/BI OR 848085-94-9/BI OR 848085-95-0/BI OR 848085-96-1/BI OR 848085-97-2/BI OR 848085-98-3/BI OR 9023-27-2/BI OR 922-68-9/BI)

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.49	724.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-45.05

FILE 'CAPLUS' ENTERED AT 23:08:57 ON 18 MAY 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 18 May 2010 VOL 152 ISS 21
FILE LAST UPDATED: 17 May 2010 (20100517/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8
L9 23107 L8

=> s l9 and nrs>2
'2' NOT A VALID FIELD CODE
0 NRS>2
L10 0 L9 AND NRS>2

=> s l9 and nrs> 2
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L11          0 L9 AND NRS> 2

=> s l9 and nrs > 2
'2' NOT A VALID FIELD CODE
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L12          0 L9 AND NRS > 2

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L12            0 SEA FILE=CAPLUS L9 AND NRS > 2


=> d his

     (FILE 'HOME' ENTERED AT 22:36:20 ON 18 MAY 2010)

     FILE 'REGISTRY' ENTERED AT 22:36:40 ON 18 MAY 2010
L1              STRUCTURE UPLOADED
L2           178 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 22:39:25 ON 18 MAY 2010
L3            43 S L2
L4              STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 22:42:23 ON 18 MAY 2010
L5             2 S L4 FULL

     FILE 'CAPLUS' ENTERED AT 22:42:54 ON 18 MAY 2010

     FILE 'REGISTRY' ENTERED AT 22:43:31 ON 18 MAY 2010

     FILE 'CAPLUS' ENTERED AT 22:43:34 ON 18 MAY 2010

     FILE 'CAPLUS' ENTERED AT 22:46:04 ON 18 MAY 2010
L6            10 S L5
L7             1 S  US 20060287351 A1/PN
                SEL RN

     FILE 'REGISTRY' ENTERED AT 23:08:34 ON 18 MAY 2010
L8            47 S E1-E47

     FILE 'CAPLUS' ENTERED AT 23:08:57 ON 18 MAY 2010
L9         23107 S L8
L10            0 S L9 AND NRS>2
L11            0 S L9 AND NRS> 2
L12            0 S L9 AND NRS > 2
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